Welcome to STN International! Enter x:x

LOGINID:ssspta1600rxa

PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'STNGUIDE' AT 12:02:57 ON 06 OCT 2003
FILE 'STNGUIDE' ENTERED AT 12:02:57 ON 06 OCT 2003

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.06	12.59

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.12	12.65

FILE 'REGISTRY' ENTERED AT 12:03:18 ON 06 OCT 2003

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 3 OCT 2003 HIGHEST RN 598296-84-5
DICTIONARY FILE UPDATES: 3 OCT 2003 HIGHEST RN 598296-84-5

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

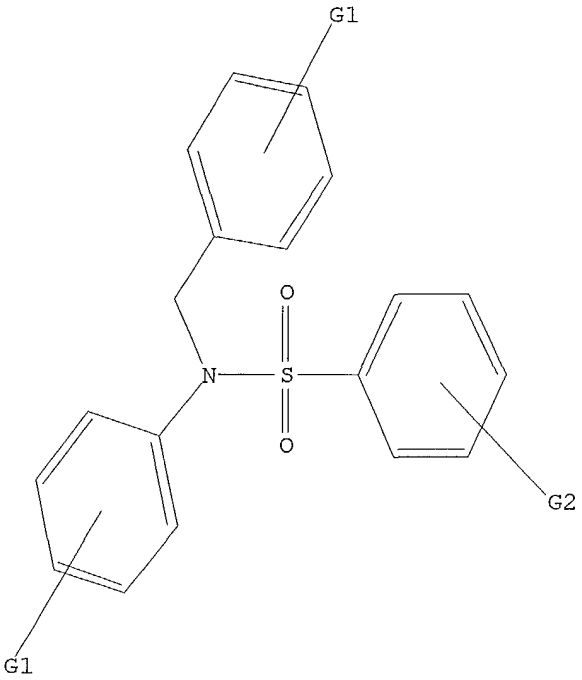
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09890927.str

L5 STRUCTURE UPLOADED

=> d
L5 HAS NO ANSWERS
L5 STR



G1 C,O,S,N,X

G2 C,O,S,X

Structure attributes must be viewed using STN Express query preparation.

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=> s l5
SAMPLE SEARCH INITIATED 12:03:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    311 TO ITERATE

100.0% PROCESSED     311 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          5162 TO     7278
PROJECTED ANSWERS:              849 TO     1831

L6           50 SEA SSS SAM L5

=> s l5 full
FULL SEARCH INITIATED 12:03:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   6589 TO ITERATE

100.0% PROCESSED    6589 ITERATIONS                        1647 ANSWERS
SEARCH TIME: 00.00.01

L7         1647 SEA SSS FUL L5

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
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	ENTRY	SESSION
FULL ESTIMATED COST	148.15	160.80

FILE 'CAPLUS' ENTERED AT 12:03:54 ON 06 OCT 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 6 Oct 2003 VOL 139 ISS 15
FILE LAST UPDATED: 5 Oct 2003 (20031005/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l7
L8          46 L7

=> s l8 and amyloid
         16632 AMYLOID
          1577 AMYLOIDS
         16710 AMYLOID
                 (AMYLOID OR AMYLOIDS)
L9           2 L8 AND AMYLOID

=> d ibib abs l9 1-2
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L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:677933 CAPLUS
DOCUMENT NUMBER: 138:283161
TITLE: Linear non-competitive inhibition of solubilized human .gamma.-secretase by pepstatin A methylester, L685458, sulfonamides, and benzodiazepines
AUTHOR(S): Tian, Gaochao; Sobotka-Briner, Cynthia D.; Zysk, John; Liu, Xiaodong; Birr, Cynthia; Sylvester, Mark A.; Edwards, Philip D.; Scott, Clay D.; Greenberg, Barry D.
CORPORATE SOURCE: Department of Lead Discovery, AstraZeneca Pharmaceuticals, Wilmington, DE, 19850, USA
SOURCE: Journal of Biological Chemistry (2002), 277(35), 31499-31505
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Cerebral deposition of **amyloid** .beta.-protein (A.beta.) is believed to play a key role in the pathogenesis of Alzheimer's disease. Because A.beta. is produced from the processing of **amyloid** .beta.-protein precursor (APP) by .beta.- and .gamma.-secretases, these enzymes are considered important therapeutic targets for identification of drugs to treat Alzheimer's disease. Unlike .beta.-secretase, which is a monomeric aspartyl protease, .gamma.-secretase activity resides as part of a membrane-bound, high mol. wt., macromol. complex. Pepstatin and L685458 are among several structural classes of .gamma.-secretase inhibitors identified so far. These compds. possess a hydroxyethylene dipeptide isostere of aspartyl protease transition state analogs, suggesting .gamma.-secretase may be an aspartyl protease. However, the mechanism of inhibition of .gamma.-secretase by pepstatin and L685458 has not been elucidated. In this study, we report that pepstatin A methylester and L685458 unexpectedly displayed linear non-competitive inhibition of .gamma.-secretase. Sulfonamides and benzodiazepines, which do not resemble transition state analogs of aspartyl proteases, also displayed potent, non-competitive inhibition of .gamma.-secretase. Models to rationalize how transition state analogs inhibit their targets by non-competitive inhibition are discussed.

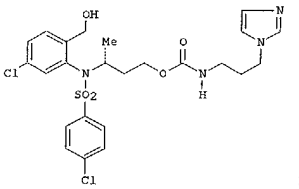
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:608717 CAPLUS
DOCUMENT NUMBER: 133:207678
TITLE: Preparation of sulfonamide derivs. as **amyloid** .beta. production inhibitors useful in treating or preventing diseases related to A.beta.
INVENTOR(S): Smith, David W.; Munoz, Benito; Srinivasan, Kumar; Bergstrom, Carl P.; Chaturvedula, Prasad V.; Deshpande, Milind S.; Keavy, Daniel J.; Lau, Wai Yu; Parker, Michael F.; Sloan, Charles P.; Wallace, Owen B.; Wang, Henry Hui
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Bristol-Myers Squibb Company
SOURCE: PCT Int. Appl., 377 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000050391	A1	20000831	WO 2000-US4560	20000222
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1159263	A1	20011205	EP 2000-910293	20000222
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 2000008965	A	20020226	BR 2000-8965	20000222
JP 2002537376	T2	20021105	JP 2000-600975	20000222
NZ 514453	A	20030429	NZ 2000-514453	20000222
ZA 2001006646	A	20021113	ZA 2001-6646	20010813
NO 2001004135	A	20010927	NO 2001-4135	20010824

PRIORITY APPLN. INFO.: US 1999-121906P P 19990226
US 1999-122746P P 19990226
US 1999-122748P P 19990226
US 1999-130994P P 19990423
US 1999-130995P A2 19990423
WO 2000-US4560 W 20000222
OTHER SOURCE(S): MARPAT 133:207678
GI

L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



I

AB Title compds. [(D)(G)CHN(E)SO2(J); D = H, alkyl, heterocycle, halo, alkoxyl, ester, amide; G = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, (CHR1)nO(CHR2)mCONR3R4, heterocycle, aryl, amine, amide, ester, ether, carbamate; D-G = cyclic; n = 1, 2, 3, 4; m = 0, 1, 2, 3, 4; R1, R2, R3, R4 are independently H, alkyl; R3-R4 = cyclic; E = H, alkyl, alkenyl, alkynyl, heterocycle, aryl, alkoxyl, amide, sulfonyl, sulfonamidyl, sulfide; J = alkyl, alkenyl, alkynyl, aryl, heterocycle, polycyclic; J-E = cyclic], pharmaceutically acceptable salts, and compn. comprising title compds. are prepd. Title compds. can act to modulate prodn. of **amyloid** .beta. protein (APP751, APP695wt, APP670/671, APP670/671/717, sAPP, .alpha.-sAPP, .beta.-sAPP) and are useful in the prevention or treatment of a variety of diseases; such diseases are **amyloid** angiopathy, cerebral **amyloid** angiopathy, systemic amyloidosis, Alzheimer's disease, hereditary cerebral hemorrhage with amyloidosis of the Dutch type, inclusion body myositis, and Down's syndrome. Thus, the title compd. I was prepd. and tested.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d his

     (FILE 'HOME' ENTERED AT 11:48:23 ON 06 OCT 2003)

     FILE 'SCISEARCH' ENTERED AT 11:48:32 ON 06 OCT 2003
L1            62 S ARICEPT
L2             8 S L1 AND EXELON
L3             2 S L2 AND REMINYL
L4             0 S L3 AND COGNEX

     FILE 'STNGUIDE' ENTERED AT 11:49:38 ON 06 OCT 2003

     FILE 'REGISTRY' ENTERED AT 12:03:18 ON 06 OCT 2003
L5                STRUCTURE UPLOADED
L6            50 S L5
L7          1647 S L5 FULL

     FILE 'CAPLUS' ENTERED AT 12:03:54 ON 06 OCT 2003
L8            46 S L7
L9             2 S L8 AND AMYLOID

=> s l8 not l9
L10           44 L8 NOT L9

=> d ibib abs hitstr 1-44
```

L10 ANSWER 1 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:610139 CAPLUS
DOCUMENT NUMBER: 139:164628
TITLE: Preparation of arylsulfonamidobenzylic compounds as liver X receptor (LXR) modulators.
INVENTOR(S): Jiao, Xian Yun; Kayser, Frank; Kopecky, David J.; Mckendry, Sharon; Piper, Derek E.; Shiau, Andrew K.
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003063576	A2	20030807	WO 2003-US3149	20030129

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-353497P P 20020130
OTHER SOURCE(S): MARPAT 139:164628
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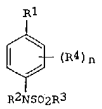
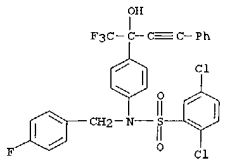


I

AB Title compds. [I; R1 = CXYR11, CXYC.tplbond.CR11, CXYCR18:CR11R18, etc.; R11 = halo, NO2, cyano, R12, OR12, SR12, NHR12, N(R12)2, cycloalkyl, cycloalkenyl, COR12, CO2R12, CONHR12, CON(R12)2, aralkyl, (hetero)aryl, heteroaralkyl; R12 = alkenyl, alkynyl, (hetero)alkyl, haloalkyl; alkyl portions of R11 are optionally substituted with 1-3 halo, OR13, NHSO2R14, NHCOR13; aryl or heteroaryl portions of R1 are optionally substituted with 1-5 halo, cyano, NO2, R14, OR13, SR13, N(R13)2, NHSO2R14, NHCOR13, Ph, phenylalkyl, phenylheteroalkyl; R13 = H, alkenyl, alkynyl, (hetero)alkyl, haloalkyl; R14 = alkenyl, alkynyl, (hetero)alkyl, haloalkyl; R1X, R1Y = atoms to form 5-6 membered moncyclic or fused bicyclic ring contg. 0-3 N, O, S; R18 = H, (hetero)alkyl, haloalkyl, (hetero)aryl; X = H, NH2, NHR15, NHSO2R15, OH, OR15; R15 = alkenyl, alkynyl, (hetero)alkyl, haloalkyl; Y =

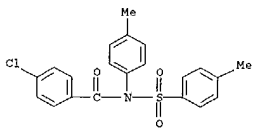
L10 ANSWER 1 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
fluoroalkyl; R2 = H, (substituted) (hetero)alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl; R2R4 form a 5-6 membered fused ring contg. 1-3 N, O, S; R3 = aryl, heteroaryl, optionally substituted with 1-5 halo, cyano, NO2, R6, OR16, SR16, COR16, CO2R16, NHR16, N(R16)2, CONHR16, CON(R16)2, NHSO2R16, Ph, phenylalkyl, etc.; R16 = alkenyl, alkynyl, (hetero)alkyl, haloalkyl; R12R12N, R16R16N, R17R17N = atoms to form a 5-8 membered ring; n = 0-3; R4 = halo, cyano, NO2, R17, OR17, SR17, COR17, CO2R17, N(R17)2, CON(R17)2; R17 = H, alkenyl, alkynyl, (hetero)alkyl, haloalkyl], were prepd. as LXR modulators (no data). Thus, 2,2,2-trifluoro-1-(3-methyl-4-methylaminophenyl)-1-phenylethanol (prepn. given), benzenesulfonyl chloride, and pyridine were heated together at 70.degree. for 13 h to give N-methyl-N-[2-methyl-4-(2,2,2-trifluoro-1-hydroxy-1-phenethyl)phenyl]benzenesulfonamide.

IT **573982-08-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of arylsulfonamidobenzylic compds. as liver X receptor (LXR) modulators)
RN 573982-08-8 CAPLUS
CN Benzenesulfonamide, 2,5-dichloro-N-[(4-fluorophenyl)methyl]-N-[4-[1-hydroxy-3-phenyl-1-(trifluoromethyl)-2-propynyl]phenyl]- (9CI) (CA INDEX NAME)

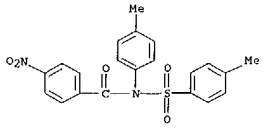


L10 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:20483 CAPLUS
DOCUMENT NUMBER: 138:204805
TITLE: Unusual Reaction of Chloramine-T with Araldoximes
AUTHOR(S): Padmavathi, V.; Reddy, K. Venugopal; Padmaja, A.; Venugopalan, P.
CORPORATE SOURCE: Department of Chemistry, Sri Venkateswara University, Tirupathi, 517502, India
SOURCE: Journal of Organic Chemistry (2003), 68(4), 1567-1570
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:204805
AB Reaction of araldoximes with 4 equiv of chloramine-T in refluxing methanol produces N-(p-tolyl)-N-(p-tosyl)benzamides via addn. of 2 equiv of chloramine-T to the intermediate nitrile oxide followed by extrusion of sulfur dioxide.

IT **500362-79-8P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(reaction of chloramine-T with araldoximes)
RN 500362-79-8 CAPLUS
CN Benzamide, 4-chloro-N-(4-methylphenyl)-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

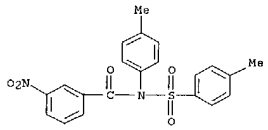


IT **500362-80-1P 500362-81-2P 500362-83-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(reaction of chloramine-T with araldoximes)
RN 500362-80-1 CAPLUS
CN Benzamide, N-(4-methylphenyl)-N-[(4-methylphenyl)sulfonyl]-4-nitro- (9CI) (CA INDEX NAME)

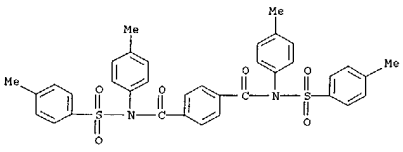


RN 500362-81-2 CAPLUS
CN Benzamide, N-(4-methylphenyl)-N-[(4-methylphenyl)sulfonyl]-3-nitro- (9CI) (CA INDEX NAME)

L10 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 500362-83-4 CAPLUS
CN 1,4-Benzenedicarboxamide, N,N'-bis(4-methylphenyl)-N,N'-bis[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

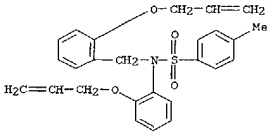
L10 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:379226 CAPLUS
DOCUMENT NUMBER: 137:325400
TITLE: Efficient atom economic approaches towards macrocyclic crownamides via ring closure metathesis
AUTHOR(S): Ibrahim, Yehia A.; Behbehani, Haider; Ibrahim, Maher R.
CORPORATE SOURCE: Chemistry Department, Faculty of Science, Kuwait University, Safat, 13060, Kuwait
SOURCE: Tetrahedron Letters (2002), 43(23), 4207-4210
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Ring closure metathesis (RCM) of suitable 1,.omega.-dienes led to efficient atom economic synthetic approaches towards azacrown ether derivs. with eight- to twenty four-membered ring sizes.

IT **473556-45-5 473556-50-2 473556-51-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(efficient atom economic approaches towards macrocyclic crownamides via ring closure metathesis in presence of Grubb's catalyst)

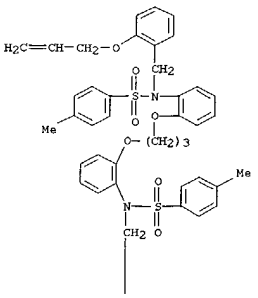
RN 473556-45-5 CAPLUS
CN Benzenesulfonamide, 4-methyl-N-[2-(2-propenyloxy)phenyl]-N-[[2-(2-propenyloxy)phenyl]methyl]- (9CI) (CA INDEX NAME)



RN 473556-50-2 CAPLUS
CN Benzenesulfonamide, N,N'-[1,3-propanediylbis(oxy-2,1-phenylene)]bis[4-methyl-N-[[2-(2-propenyloxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



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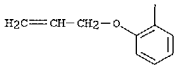
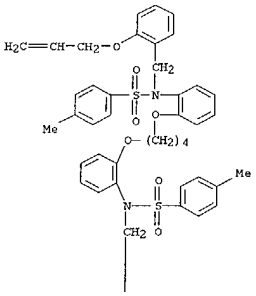


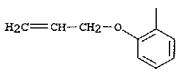
RN 473556-51-3 CAPLUS
CN Benzenesulfonamide, N,N'-[1,4-butanediylbis(oxy-2,1-phenylene)]bis[4-methyl-N-[[2-(2-propenyloxy)phenyl]methyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

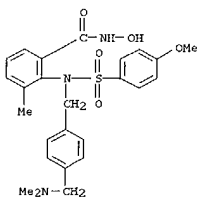
L10 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:780030 CAPLUS
DOCUMENT NUMBER: 136:232093
TITLE: The discovery of anthranilic acid-based MMP inhibitors. Part 3: incorporation of basic amines
AUTHOR(S): Levin, J. I.; Chen, J. M.; Du, M. T.; Nelson, F. C.; Wehr, T.; DiJoseph, J. F.; Killar, L. M.; Skala, S.; Sung, A.; Sharr, M. A.; Roth, C. E.; Jin, G.; Cowling, R.; Di, L.; Sherman, M.; Xu, Z. B.; March, C. J.; Mohler, K. M.; Black, R. A.; Skotnicki, J. S.
CORPORATE SOURCE: Wyeth-Ayerst Research, Pearl River, NY, 10965, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(22), 2975-2978
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Anthranilic acid derivs. bearing basic amines were prepd. and evaluated in vitro and in vivo as inhibitors of MMP-1, MMP-9, MMP-13, and TACE. One piperazine deriv. was identified as a potent, selective, orally active inhibitor of MMP-9 and MMP-13. An example compd. thus tested was N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl](3-pyridinylmethyl)amino]-3-methylbenzamide.

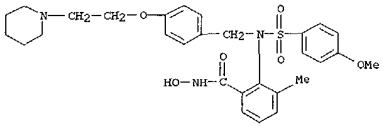
IT **206550-51-8 206550-72-3 206550-76-7**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(MMP-inhibiting activity of N-hydroxy-2-[[(4-alkoxyphenyl)sulfonyl]amino]benzamide derivs.)

RN 206550-51-8 CAPLUS
CN Benzamide, 2-[[[4-[(dimethylamino)methyl]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

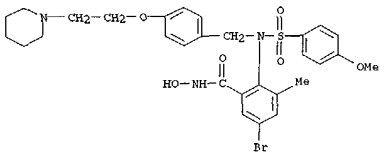


RN 206550-72-3 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206550-76-7 CAPLUS
CN Benzamide, 5-bromo-N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

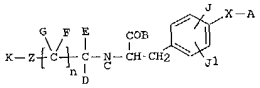


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:380546 CAPLUS
DOCUMENT NUMBER: 134:367194
TITLE: Preparation of novel phenylalanine derivatives as .alpha.4-integrin inhibitors
INVENTOR(S): Tanaka, Yasuhiro; Yoshimura, Toshihiko; Izawa, Hiroyuki; Ejima, Chieko; Kojima, Mitsuhiko; Atake, Yuko; Nakanishi, Eiji; Suzuki, Nobuyasu; Makino, Shingo; Suzuki, Manabu; Murata, Masahiro
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001036376	A1	20010525	WO 2000-JP8152	20001120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001014165	A5	20010530	AU 2001-14165	20001120
EP 1233013	A1	20020821	EP 2000-976347	20001120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003149083	A1	20030807	US 2002-150067	20020520

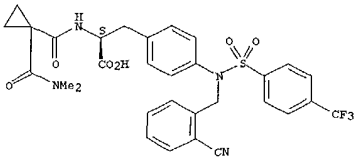
PRIORITY APPLN. INFO.: JP 1999-328468 A 19991118
JP 2000-197139 A 20000629
WO 2000-JP8152 W 20001120
OTHER SOURCE(S): MARPAT 134:367194
GI



AB Phenylalanine derivs. represented by general formula (I) or pharmaceutically acceptable salts thereof [wherein X represents an interat. bond, O, OSO2, N-(un)substituted NH, NHCO, NHSO2, NHCONH, or NH(CS)NH, CO; Y and Z represent each CO, SO, or SO2; A represents a specific substituted Ph group or nitrogen-contg. heterocycle such as

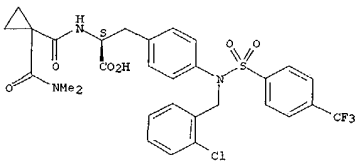
L10 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
arom.-fused pyrimidinedione or pyrimidinone, 2,4- or 2,5-imidazolidinedione, or 5-imidazolone; C represents hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally contg. heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl; D and E represent each lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally contg. heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or D and E may be bonded to each other to form a ring optionally contg. 1 or 2 O, N, or S in the ring; F and G represent each hydrogen, lower alkyl, lower alkenyl, lower alkynyl, cyclic alkyl-lower alkyl optionally contg. heteroatoms in the ring, aryl-lower alkyl, heteroaryl-lower alkyl, etc. or F and G may be bonded to each other to form a ring; n is from 0 to 2; K represents OR7, NR7R8, NHNR7R8, SR7, or R7; R7 and R8 represents H, lower alkyl, etc.; and J and J' represent each hydrogen, halogeno, lower alkyl, lower alkoxy, or NO2) are prepd. These derivs. and analogs thereof show an .alpha.4 integrin inhibitory activity and are usable as remedies for various diseases relating to .alpha.4 integrin, such as inflammatory diseases related to .alpha.4 integrin-dependent adhesion process, arthritis, inflammatory intestinal diseases, systemic lupus erythematosus, multiple sclerosis, Sjoegren syndrome, psoriasis, allergy, diabetes, cardiovascular diseases, arteriosclerosis, restenosis, tumor proliferation, tumor metastasis, or transplant rejection. Thus, O-(2,6-dichlorobenzyl)-L-tyrosine bound to Wang resin was allowed to react with diethylmalonic acid, HOAt, 2-dimethylaminoisopropyl chloride hydrochloride (DIC), and N-methyl-2-pyrrolidinone (NMP) at room temp. for 16 h, washed with DMF five times, and condensed with pyrroline using HOAt, DIC, and NMP, followed by oxidn. with OsO4 in dioxane at room temp. for 16 and resin-cleavage in aq. CF3CO2H to give N-[2-[(cis-2,4-dihydroxypyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-O-(2,6-dichlorobenzyl)-L-tyrosine (II). II and N-[2-[(pyrrolidin-1-yl)carbonyl]-2-ethylbutanoyl]-4-(2,6-dichlorobenzoylamino)-L-phenylalanine inhibited the binding of human recombinant VCAM-1 to human B lymphoma cell line expressing integrin.alpha.4.beta.7 with IC50 of .ltoreq.0.02 .mu.mol/L.
IT **340719-12-2P 340719-14-4P 340719-16-6P**
340720-11-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of novel phenylalanine derivs. as .alpha.4-integrin inhibitors)
RN 340719-12-2 CAPLUS
CN L-Phenylalanine, 4-[[(2-cyanophenyl)methyl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-N-[[1-[(dimethylamino)carbonyl]cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



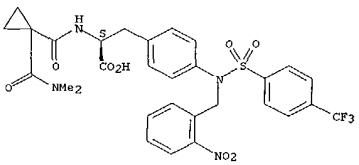
L10 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 340719-14-4 CAPLUS
CN L-Phenylalanine, 4-[[(2-chlorophenyl)methyl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-N-[[1-[(dimethylamino)carbonyl]cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 340719-16-6 CAPLUS
CN L-Phenylalanine, N-[[1-[(dimethylamino)carbonyl]cyclopropyl]carbonyl]-4-[[(2-nitrophenyl)methyl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

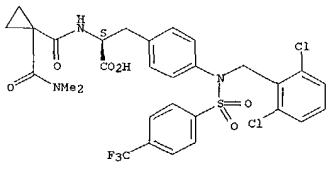
Absolute stereochemistry.



RN 340720-11-8 CAPLUS
CN L-Phenylalanine, 4-[[(2,6-dichlorophenyl)methyl][[4-(trifluoromethyl)phenyl]sulfonyl]amino]-N-[[1-[(dimethylamino)carbonyl]cyclopropyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



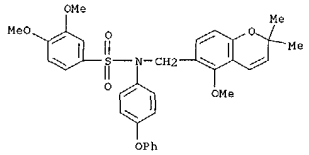
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L10 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:690830 CAPLUS
DOCUMENT NUMBER: 134:29278
TITLE: Natural Product-like Combinatorial Libraries Based on Privileged Structures. 2. Construction of a 10 000-Membered Benzopyran Library by Directed Split-and-Pool Chemistry Using NanoKans and Optical Encoding
AUTHOR(S): Nicolaou, K. C.; Pfefferkorn, J. A.; Mitchell, H. J.; Roecker, A. J.; Barluenga, S.; Cao, G.-Q.; Affleck, R. L.; Lillig, J. E.
CORPORATE SOURCE: Department of Chemistry and The Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Journal of the American Chemical Society (2000), 122(41), 9954-9967
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Having developed a reliable and versatile solid-phase strategy for the split-and-pool synthesis of naturally occurring and designed derivs. of the benzopyran template, this was applied to the construction of a 10 000-membered natural product-like compd. library for chem. biol. studies. Concomitantly, an early application of the IRORI NanoKan optical encoding system for the high throughput nonchem. tagging and sorting of library members during split-and-pool synthesis is reported. The overall synthetic strategy for library construction is discussed and the individual reaction pathways are examd. in the context of specific library members, illustrating reaction conditions as well as yields and purities. The issues of building block selection and quality control of library members are also addressed and, finally, potential applications of the library to chem. biol. are discussed.

IT **310889-79-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of a 10 000-membered benzopyran library by split-and-pool chem. using NanoKans and optical encoding)
RN 310889-79-3 CAPLUS
CN Benzenesulfonamide, 3,4-dimethoxy-N-[(5-methoxy-2,2-dimethyl-2H-1-benzopyran-6-yl)methyl]-N-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:666587 CAPLUS
DOCUMENT NUMBER: 133:237693
TITLE: Preparation of bis(trifluoromethyl)hydroxymethylbenzenesulfonamides, -ureas, and -carbamates as liver X receptor modulators.
INVENTOR(S): Li, Leping; Medina, Julio C.; Hasegawa, Hirohiko; Cutler, Serena T.; Liu, Jiwen; Zhu, Liusheng; Shan, Bei; Lustig, Kevin
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

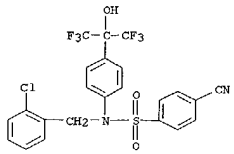
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000054759	A2	20000921	WO 2000-US6611	20000315
WO 2000054759	A3	20010215		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6316503	B1	20011113	US 2000-525861	20000314
EP 1161233	A2	20011212	EP 2000-914958	20000315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539155	T2	20021119	JP 2000-604835	20000315
PRIORITY APPLN. INFO.:			US 1999-124525P P	19990315
			WO 2000-US6611 W	20000315

OTHER SOURCE(S): MARPAT 133:237693

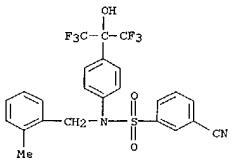
AB X1X2X3CC(R1)(ArYR2)CX4X5X6 (Ar = aryl; R1 = OH, CO2H, alkoxy, alkylcarbonyloxy, heteroalkyloxy, etc.; R2 = alkyl, heteroalkyl, aryl, aralkyl; X1-X6 = H, alkyl, heteroalkyl, F, Cl; Y = NR12SOm, NR12CO, NR12CONR13, NR12CO2, etc.; m = 1, 2; R12, R13 = H, alkyl, heteroalkyl, aryl, aralkyl, etc.; with provisos), were prepd. Thus, 4-(hexafluoro-2-hydroxyisopropyl)aniline in MeOH was treated with PhSO2Cl to give 4-[HO(CF3)2C]C6H4NHSO2Ph. The latter showed LXR.alpha. with EC50 <2 .mu.M.

IT **293754-91-3P 293754-92-4P 293754-93-5P**
293754-94-6P 293754-95-7P 293754-96-8P
293754-98-0P 293754-99-1P 293755-00-7P
293755-01-8P 293755-02-9P 293755-03-0P
293755-04-1P 293755-05-2P 293755-09-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bis(trifluoromethyl)hydroxymethylbenzenesulfonamides, -ureas, and -carbamates as liver X receptor modulators)
RN 293754-91-3 CAPLUS
CN Benzenesulfonamide, N-[(2-chlorophenyl)methyl]-4-cyano-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

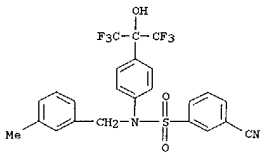
L10 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 293754-92-4 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-[(2-methylphenyl)methyl]-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

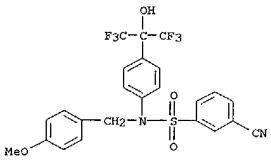


RN 293754-93-5 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-[(3-methylphenyl)methyl]-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

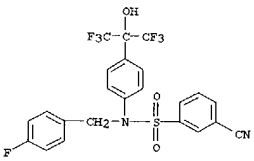


RN 293754-94-6 CAPLUS
CN Benzenesulfonamide, N-[(3-chlorophenyl)methyl]-3-cyano-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX

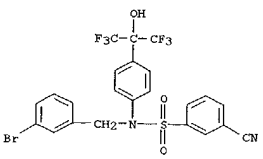
L10 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 293754-98-0 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-[(4-methoxyphenyl)methyl]-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 293754-99-1 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-[(4-fluorophenyl)methyl]-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

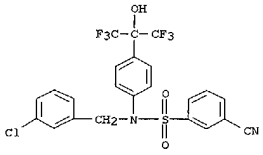


RN 293755-00-7 CAPLUS
CN Benzenesulfonamide, N-[(3-bromophenyl)methyl]-3-cyano-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

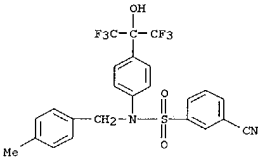


RN 293755-01-8 CAPLUS

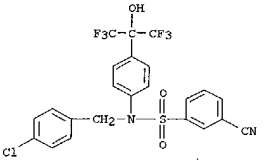
L10 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
NAME)



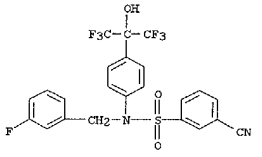
RN 293754-95-7 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-[(4-methylphenyl)methyl]-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



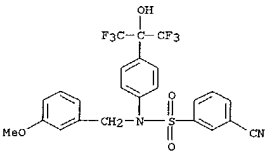
RN 293754-96-8 CAPLUS
CN Benzenesulfonamide, N-[(4-chlorophenyl)methyl]-3-cyano-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



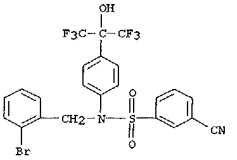
L10 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Benzenesulfonamide, 3-cyano-N-[(3-fluorophenyl)methyl]-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 293755-02-9 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-[(3-methoxyphenyl)methyl]-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

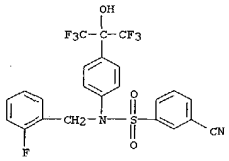


RN 293755-03-0 CAPLUS
CN Benzenesulfonamide, N-[(2-bromophenyl)methyl]-3-cyano-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

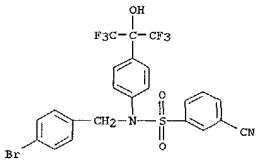


RN 293755-04-1 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-[(2-fluorophenyl)methyl]-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX

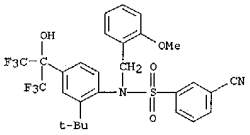
L10 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
NAME)



RN 293755-05-2 CAPLUS
CN Benzenesulfonamide, N-[(4-bromophenyl)methyl]-3-cyano-N-[4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



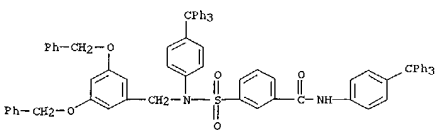
RN 293755-09-6 CAPLUS
CN Benzenesulfonamide, 3-cyano-N-[2-(1,1-dimethylethyl)-4-[2,2,2-trifluoro-1-hydroxy-1-(trifluoromethyl)ethyl]phenyl]-N-[(2-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:655732 CAPLUS
DOCUMENT NUMBER: 133:363083
TITLE: Chiral dendrophanes, dendro[2]rotaxanes, and dendro[2]catenanes: synthesis and chiroptical phenomena
AUTHOR(S): Reuter, Carin; Pawlitzki, Gregor; Worsdorfer, Udo; Plevoets, Marcus; Mohry, Andre; Kubota, Takateru; Okamoto, Yoshio; Vogtle, Fritz
CORPORATE SOURCE: Kekule-Institut fur Organische Chemie und Biochemie der Universitat Bonn, Bonn, D-53121, Germany
SOURCE: European Journal of Organic Chemistry (2000), (17), 3059-3067
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
AB New chiral dendrimers with planar-chiral, cyclcenantiomeric and topol. chiral cores were prepd. in yields of up to 90% starting from a racemic 4-hydroxy[2.2]paracyclophane, a [2]rotaxane with sulfonamide groups in the wheel and axle positions and [2]catenane with a sulfonamide group in both of its macrocycles. The sepn. of the racemic mixt. of these dendrimers was possible by HPLC on chiral stationary phases. The CD spectra of the dendro[2.2]phanes showed a hitherto unknown influence of the dendritic part on the intensities of the Cotton effects. The chirality of these dendrimers is dependent not only on its chiral elements but also on its dendritic wedges and their generation.
IT **306308-45-2P 306308-48-5P 307000-99-3P 307001-00-9P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (rotaxane; prepn. and chiroptical phenomena of)
RN 306308-45-2 CAPLUS
CN Dispiro[cyclohexane-1,2'-[8]thia[7,15,25,33]tetraazaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45]octadecaene-20',1''-cyclohexane]-14',26',32'-trione, 7'-[[3,5-bis(phenylmethoxy)phenyl]methyl]-29'-(1,1-dimethylethyl)-5',17',23',35',38',40',43',45'-octamethyl-, 8',8'-dioxide, rotaxane compd. with 3-[[[[3,5-bis(phenylmethoxy)phenyl]methyl][4-(triphenylmethyl)phenyl]amino]sulfonyl]-N-[4-(triphenylmethyl)phenyl]benzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 306308-44-1
CMF C78 H62 N2 O5 S



CM 2

L10 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CRN 306308-43-0
CMF C84 H90 N4 O7 S

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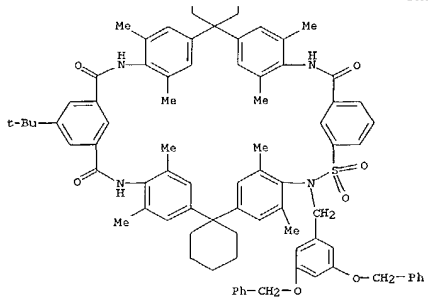

RN 306308-48-5 CAPLUS
CN Dispiro[cyclohexane-1,2'-[8]thia[7,15,25,33]tetraazaheptacyclo[32.2.2.23,6

L10 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45]octadecaene-20',1''-cyclohexane]-14',26',32'-trione, 7'-[[3,5-bis[[3,5-bis(phenylmethoxy)phenyl]methoxy]phenyl]methyl]-29'-(1,1-dimethylethyl)-5',17',23',35',38',40',43',45'-octamethyl-, 8',8'-dioxide, rotaxane compd. with 3-[[[[3,5-bis[[3,5-bis(phenylmethoxy)phenyl]methoxy]phenyl]methyl][4-(triphenylmethyl)phenyl]amino]sulfonyl]-N-[4-(triphenylmethyl)phenyl]benzamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 306308-47-4
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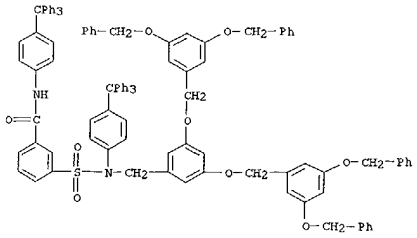

CM 2

CRN 306308-46-3
CMF C112 H114 N4 O11 S

L10 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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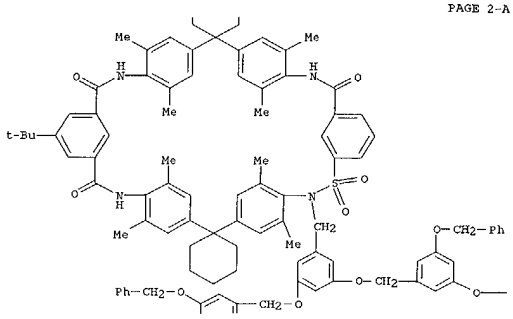

L10 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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—CH2—Ph

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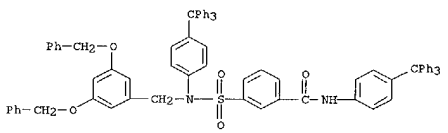
RN 307000-99-3 CAPLUS
CN Benzamide, 3-[[[[3,5-bis(phenylmethoxy)phenyl]methyl][4-(triphenylmethyl)phenyl]amino]sulfonyl]-N-[4-(triphenylmethyl)phenyl]-, rotaxane compd. with 7'-[[3,5-bis(phenylmethoxy)phenyl]methyl]-29'-(1,1-dimethylethyl)-5',17',23',35',38',40',43',45'-octamethyldispiro[cyclohexane-1,2'-[8]thia[7,15,25,33]tetraazaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45]octadecaene-20',1''-cyclohexane]-14',26',32'-trione 8',8'-dioxide (1:1), stereoisomer (9CI) (CA INDEX NAME)

CM 1

CRN 306308-44-1
CMF C78 H62 N2 O5 S

L10 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



CM 2

CRN 306308-43-0
CMF C84 H90 N4 O7 S

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L10 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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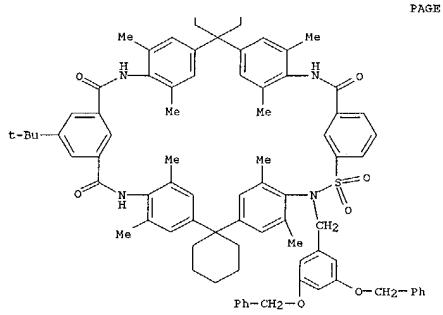
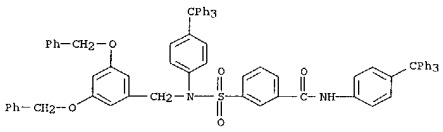


RN 307001-00-9 CAPLUS
CN Benzamide, 3-[[[[3,5-bis(phenylmethoxy)phenyl]methyl][4-(triphenylmethyl)phenyl]amino]sulfonyl]-N-[4-(triphenylmethyl)phenyl]-, rotaxane compd. with 7'-[[3,5-bis(phenylmethoxy)phenyl]methyl]-29'-(1,1-dimethylethyl)-5',17',23',35',38',40',43',45'-octamethyldispiro[cyclohexane-1,2'-[8]thia[7,15,25,33]tetraazaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45]octadecaene-20',1''-cyclohexane]-14',26',32'-trione 8',8'-dioxide (1:1), stereoisomer (9CI) (CA INDEX NAME)

CM 1

CRN 306308-44-1
CMF C78 H62 N2 O5 S



CM 2

L10 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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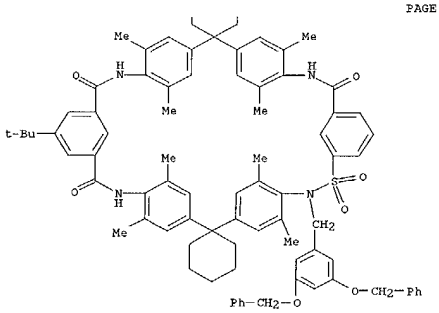

REFERENCE COUNT: 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CRN 306308-43-0
CMF C84 H90 N4 O7 S

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L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:213305 CAPLUS
DOCUMENT NUMBER: 133:4641
TITLE: Chiral [1]rotaxanes: X-ray structures and chiroptical properties
AUTHOR(S): Reuter, Carin; Seel, Christian; Nieger, Martin; Vogtle, Fritz
CORPORATE SOURCE: Kekule-Institut fur Organische Chemie und Biochemie der Universitat, Bonn, D-53121, Germany
SOURCE: Helvetica Chimica Acta (2000), 83(3), 630-640
CODEN: HCACAV; ISSN: 0018-019X
PUBLISHER: Verlag Helvetica Chimica Acta
DOCUMENT TYPE: Journal
LANGUAGE: English

AB New chiral [1]rotaxanes with arom. bridges were prepd. in yields up to 72% starting from a [2]rotaxane with sulfonamide groups in wheel and axle. The X-ray structures of the parent [2]rotaxane and of three [1]rotaxanes were solved, which show networks of H-bonds between wheel and axle. The sepn. of the racemic mixts. of four of the [1]rotaxanes was possible with HPLC on Chiralcel OD. The arom. chromophores in the bridges lead to a considerable enhancement of the intensities of the molar CD as compared to the analogs with aliph. bridges. In one case, the Cotton effects are as strong as those usually found in helicenes.

IT **271586-85-7P 271586-86-8P 271586-87-9P**
271586-88-0P 271586-90-4P 271586-91-5P
271586-92-6P 271586-93-7P 271587-09-8P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and chiroptical properties of chiral [1]rotaxanes)

RN 271586-85-7 CAPLUS
CN Benzamide, 3-[[[[4-[2-[4-[[29'-(1,1-dimethylethyl)-5',17',23',35',38',40',43',45'-octamethyl-8',8'-dioxido-14',26',32'-trioxodispiro[cyclohexane-1,2'-[8]thia[7,15,25,33]tetraazaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45]octadecaene-20',1''-cyclohexan]-7'-yl]methyl]phenyl]ethyl]phenyl]methyl][4-(triphenylmethyl)phenyl]amino]sulfonyl]-N-[4-(triphenylmethyl)phenyl]-, stereoisomer (9CI) (CA INDEX NAME)

L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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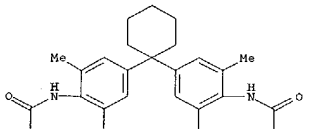

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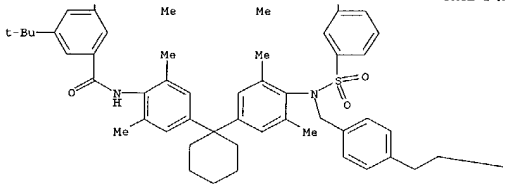

L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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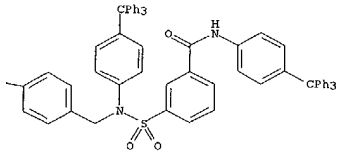

RN 271586-86-8 CAPLUS
CN Benzamide, 3-[[[[4-[2-[4-[[29'-(1,1-dimethylethyl)-5',17',23',35',38',40',43',45'-octamethyl-8',8'-dioxido-14',26',32'-trioxodispiro[cyclohexane-1,2'-[8]thia[7,15,25,33]tetraazaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45]octadecaene-20',1''-cyclohexan]-7'-yl]methyl]phenyl]ethyl]phenyl]methyl][4-(triphenylmethyl)phenyl]amino]sulfonyl]-N-[4-(triphenylmethyl)phenyl]-, stereoisomer (9CI) (CA INDEX NAME)

L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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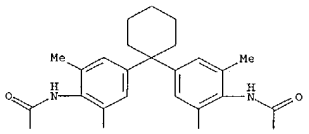

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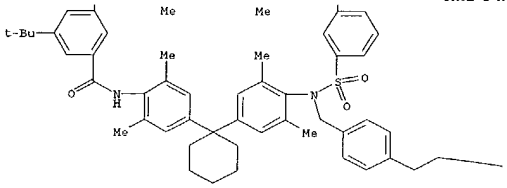

L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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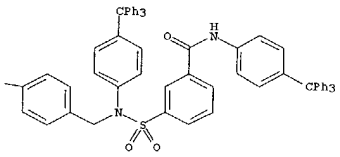

RN 271586-87-9 CAPLUS
CN Benzamide, 3-[[[[4-[[4-[[29'-(1,1-dimethylethyl)-5',17',23',35',38',40',43',45'-octamethyl-8',8'-dioxido-14',26',32'-trioxodispiro[cyclohexane-1,2'-[8]thia[7,15,25,33]tetraazaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45]octadecaene-20',1''-cyclohexan]-7'-yl]methyl]phenyl]methyl]phenyl]methyl][4-(triphenylmethyl)phenyl]amino]sulfonyl]-N-[4-(triphenylmethyl)phenyl]-, stereoisomer (9CI) (CA INDEX NAME)

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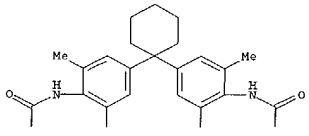

L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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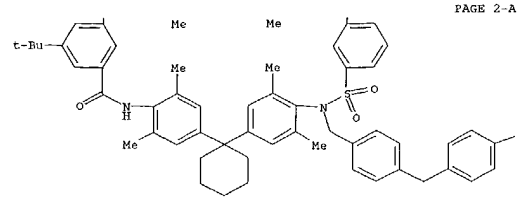

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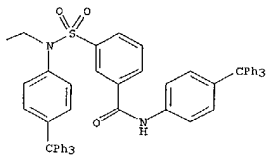

RN 271586-88-0 CAPLUS
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L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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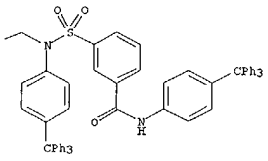

RN 271586-90-4 CAPLUS
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L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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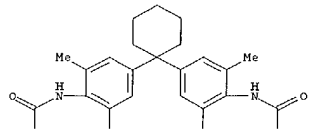

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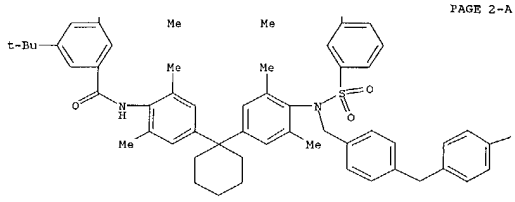

L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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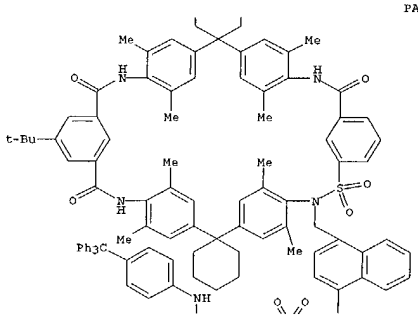

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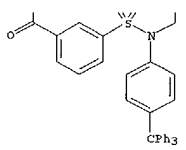

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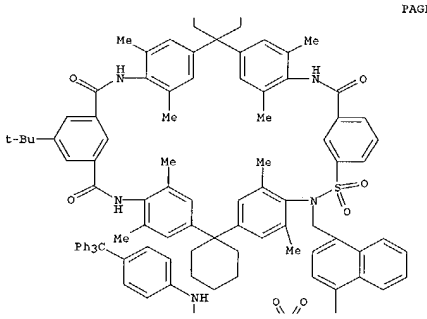
CN Benzamide, 3-[[[[4-[[29'-(1,1-dimethylethyl)-5',17',23',35',38',40',43',45'-octamethyl-8',8'-dioxido-14',26',32'-trioxodispiro[cyclohexane-1,2'-[8]thia[7,15,25,33]tetraazaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45]octadecaene-20',1''-cyclohexan]-7''-yl]methyl]-1-naphthalenyl]methyl][4-(triphenylmethyl)phenyl]amino]sulfonyl]-N-[4-(triphenylmethyl)phenyl]-, stereoisomer (9CI) (CA INDEX NAME)

L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

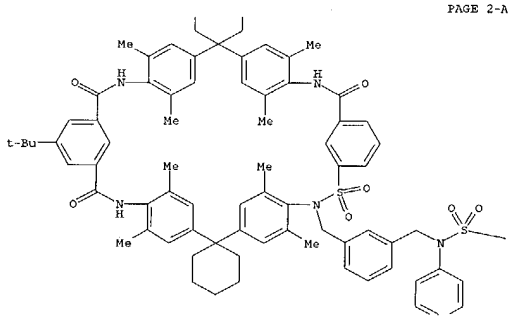
PAGE 1-A

PAGE 2-A

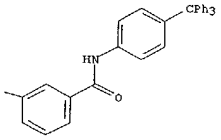


L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



PAGE 2-B



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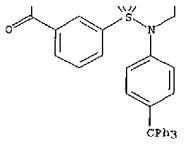
L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 3-A



RN 271586-92-6 CAPLUS
CN Benzamide, 3-[[[[3-[[29'-(1,1-dimethylethyl)-5',17',23',35',38',40',43',45'-octamethyl-8',8'-dioxido-14',26',32'-trioxodispiro[cyclohexane-1,2'-[8]thia[7,15,25,33]tetraazaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45]octadecaene-20',1''-cyclohexan]-7'-yl]methyl]phenyl]methyl][4-(triphenylmethyl)phenyl]amino]sulfonyl]-N-[4-(triphenylmethyl)phenyl]-, stereoisomer (9CI) (CA INDEX NAME)

PAGE 1-A

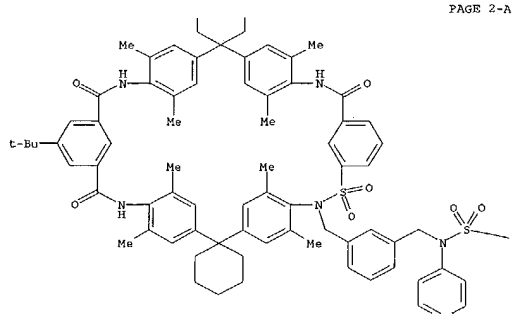
L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 271586-93-7 CAPLUS
CN Benzamide, 3-[[[[3-[[29'-(1,1-dimethylethyl)-5',17',23',35',38',40',43',45'-octamethyl-8',8'-dioxido-14',26',32'-trioxodispiro[cyclohexane-1,2'-[8]thia[7,15,25,33]tetraazaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45]octadecaene-20',1''-cyclohexan]-7'-yl]methyl]phenyl]methyl][4-(triphenylmethyl)phenyl]amino]sulfonyl]-N-[4-(triphenylmethyl)phenyl]-, stereoisomer (9CI) (CA INDEX NAME)

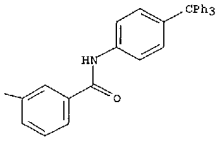
PAGE 1-A

L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



PAGE 2-B



L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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RN 271587-09-8 CAPLUS

CN Benzamide, 3-[[[[3-[[[29'-(1,1-dimethylethyl)-5',17',23',35',38',40',43',45'-octamethyl-8',8'-dioxido-14',26',32'-trioxodispiro[cyclohexane-1,2'-[8]thia[7,15,25,33]tetraazaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45]octadecaene-20',1''-cyclohexan]-7'-yl]methyl]phenyl]methyl][4-(triphenylmethyl)phenyl]amino]sulfonyl]-N-[4-(triphenylmethyl)phenyl]-, compd. with dichloromethane and methanol, hydrate (2:10:5:5) (9CI) (CA INDEX NAME)

CM 1

CRN 271587-08-7
CMF C128 H122 N6 O8 S2

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L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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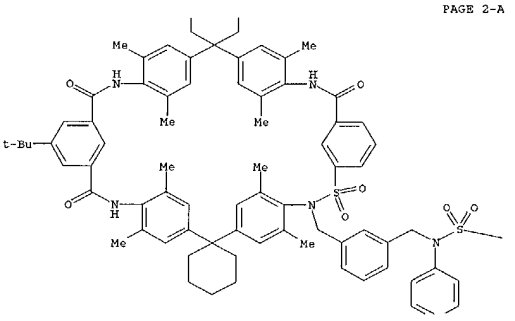

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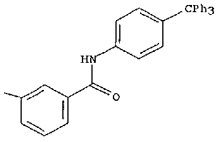

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L10 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

CM 2

CRN 75-09-2
CMF C H2 Cl2

CM 3

CRN 67-56-1
CMF C H4 O

REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:76162 CAPLUS
DOCUMENT NUMBER: 132:265184
TITLE: Rotaxane synthesis via nucleophilic substitution reactions. The trapping of electrophilic threads by organic anion-wheel complexes
AUTHOR(S): Hubner, Gosia M.; Reuter, Carin; Seel, Christian; Vogtle, Fritz
CORPORATE SOURCE: Kekule-Institut Organische Chemie Biochemie, Univ. Bonn, Bonn, D-53121, Germany
SOURCE: Synthesis (2000), (1), 103-108
CODEN: SYNTBF; ISSN: 0039-7881
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:265184

AB Using the recently introduced trapping method based on the reaction of nucleophilic org. anion-macrolactam complexes with electrophilic building blocks, a series of new rotaxanes was synthesized upon formation of sulfide, N-tosylamide, thioester, and phosphate bonds. The yields are high (41-88%) which underlines the versatility of the new synthetic concept.

IT **261967-83-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of rotaxanes via nucleophilic substitution)

RN 261967-83-3 CAPLUS
CN Benzenesulfonamide, N,N'-[1,2-ethanediylbis(4,1-phenylenemethylene)]bis[4-methyl-N-[4-(triphenylmethyl)phenyl]-, rotaxane compd. with 11'-(1,1-dimethylethyl)-5',17',23',35',38',40',43',45'-octamethyldispiro[cyclohexane-1,2'-[7,15,25,33]tetraazaheptacyclo[32.2.2.2 3,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23, 27,29,31(39),34,36,37,40,42,45]octadecaene-20',1''-cyclohexane]-8',14',26',32''-tetrone (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 261967-82-2
CMF C80 H68 N2 O4 S2

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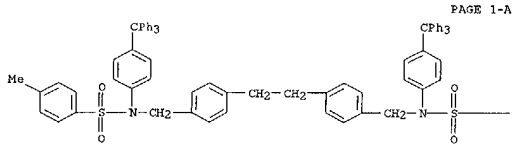
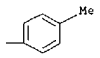


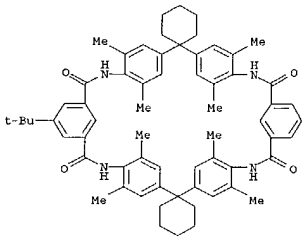
L10 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-B



CM 2

CRN 169179-44-6
CMF C64 H72 N4 O4



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:495123 CAPLUS
DOCUMENT NUMBER: 131:129760
TITLE: Preparation of sulfonamidobenzenehydroxamates and analogs as matrix metalloproteinase and TACE inhibitors
INVENTOR(S): Levin, Jeremy Ian; Du, Mila T.; Venkatesan, Aranapakam Mudumbai; Nelson, Frances Christy; Zask, Arie; Gu, Yansong
PATENT ASSIGNEE(S): American Cyanamid Co., USA
SOURCE: U.S., 68 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

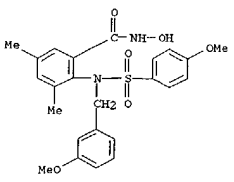
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5929097	A	19990727	US 1997-944593	19971006
PRIORITY APPLN. INFO.:			US 1996-28504P P	19961016

OTHER SOURCE(S): MARPAT 131:129760

AB RSO2N(CH2R7)ZCONHOH [I; R = (un)substituted (hetero)aryl; R7 = H, alkyl, Ph, etc.; Z = (un)substituted phenylene or -naphthylene] were prepd. Thus, 2-(H2N)C6H4CO2Me was amidated by 4-(MeO)C6H4SO2Cl and the N-benzylated product converted in 2 steps to I [R = C6H4(OMe)-4, R7 = Ph, Z = 1,2-phenylene]. Data for biol. activity of I were given.

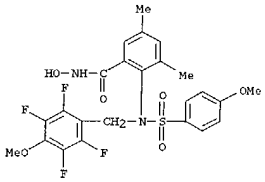
IT **206547-97-9P 206547-98-0P 206548-00-7P**
206548-01-8P 206548-02-9P 206550-50-7P
206550-51-8P 206550-72-3P 206550-74-5P
206550-76-7P 206550-78-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonamidobenzenehydroxamates and analogs as matrix metalloproteinase and TACE inhibitors)

RN 206547-97-9 CAPLUS
CN Benzamide, N-hydroxy-2-[[(3-methoxyphenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)

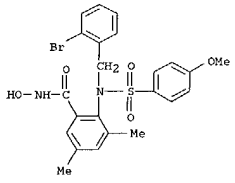


RN 206547-98-0 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][(2,3,5,6-tetrafluoro-4-methoxyphenyl)methyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)

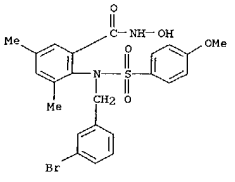
L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206548-00-7 CAPLUS
CN Benzamide, 2-[[(2-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3,5-dimethyl- (9CI) (CA INDEX NAME)

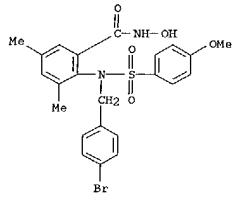


RN 206548-01-8 CAPLUS
CN Benzamide, 2-[[(3-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3,5-dimethyl- (9CI) (CA INDEX NAME)

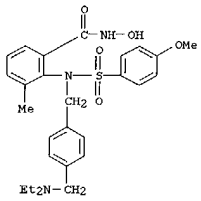


RN 206548-02-9 CAPLUS
CN Benzamide, 2-[[(4-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3,5-dimethyl- (9CI) (CA INDEX NAME)

L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

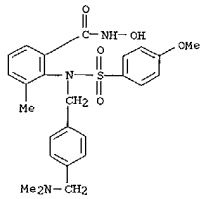


RN 206550-50-7 CAPLUS
CN Benzamide, 2-[[[4-[(diethylamino)methyl]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

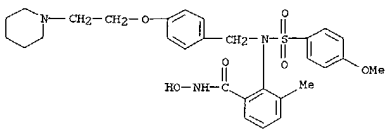


RN 206550-51-8 CAPLUS
CN Benzamide, 2-[[[4-[(dimethylamino)methyl]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

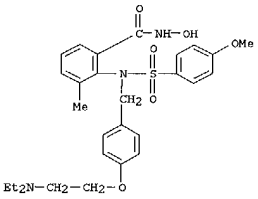
L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206550-72-3 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

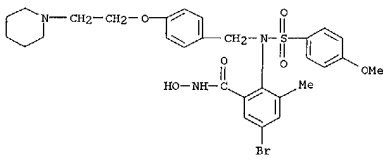


RN 206550-74-5 CAPLUS
CN Benzamide, 2-[[[4-[2-(diethylamino)ethoxy]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

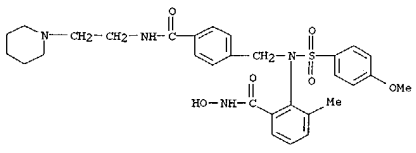


L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 206550-76-7 CAPLUS
CN Benzamide, 5-bromo-N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)



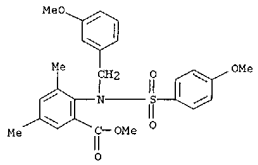
RN 206550-78-9 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][[4-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)



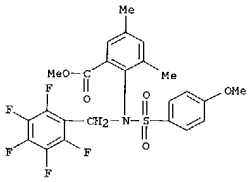
IT **206547-85-5P 206547-86-6P 206547-88-8P**
206547-89-9P 206547-90-2P 206547-91-3P
206547-92-4P 206547-94-6P 206547-95-7P
206547-96-8P 206551-80-6P 206551-81-7P
206551-82-8P 206551-83-9P 206552-16-1P
206552-17-2P 206552-19-4P 206552-22-9P
206552-23-0P 206552-24-1P 206552-25-2P
234125-60-1P 234125-63-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of sulfonamidobenzenehydroxamates and analogs as matrix metalloproteinase and TACE inhibitors)

RN 206547-85-5 CAPLUS
CN Benzoic acid, 2-[[(3-methoxyphenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

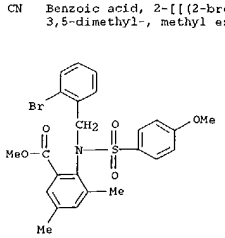
L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206547-86-6 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][(pentafluorophenyl)methyl]amino]-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

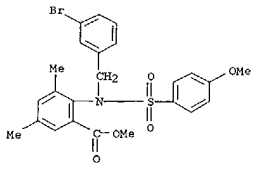


RN 206547-88-8 CAPLUS
CN Benzoic acid, 2-[[(2-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

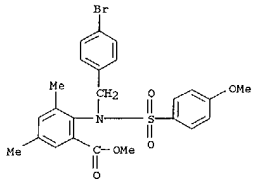


RN 206547-89-9 CAPLUS
CN Benzoic acid, 2-[[(3-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

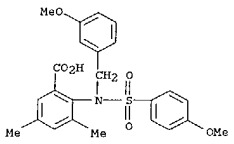
L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206547-90-2 CAPLUS
CN Benzoic acid, 2-[[(4-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

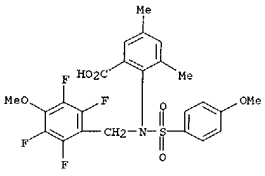


RN 206547-91-3 CAPLUS
CN Benzoic acid, 2-[[(3-methoxyphenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)

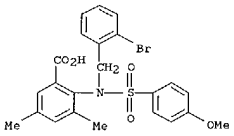


RN 206547-92-4 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][(2,3,5,6-tetrafluoro-4-methoxyphenyl)methyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)

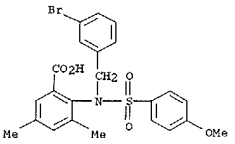
L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206547-94-6 CAPLUS
CN Benzoic acid, 2-[[(2-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)

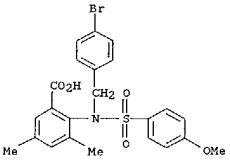


RN 206547-95-7 CAPLUS
CN Benzoic acid, 2-[[(3-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)

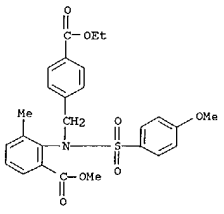


RN 206547-96-8 CAPLUS
CN Benzoic acid, 2-[[(4-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)

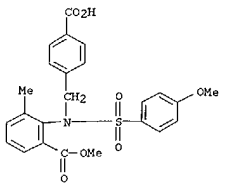
L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206551-80-6 CAPLUS
CN Benzoic acid, 2-[[[4-(ethoxycarbonyl)phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

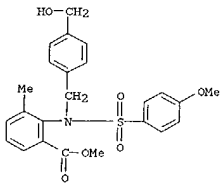


RN 206551-81-7 CAPLUS
CN Benzoic acid, 2-[[(4-carboxyphenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, 1-methyl ester (9CI) (CA INDEX NAME)

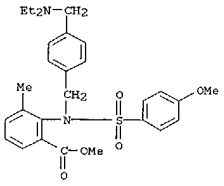


L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 206551-82-8 CAPLUS
CN Benzoic acid, 2-[[[4-(hydroxymethyl)phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

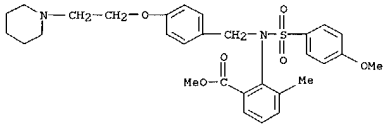


RN 206551-83-9 CAPLUS
CN Benzoic acid, 2-[[[4-[(diethylamino)methyl]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

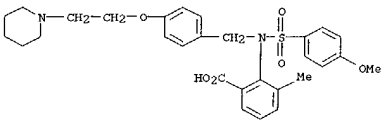


RN 206552-16-1 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

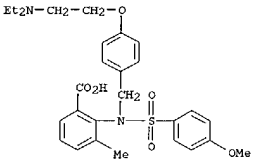
L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206552-17-2 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

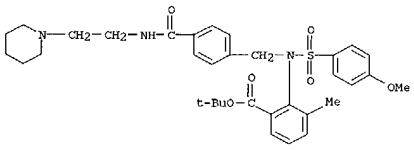


RN 206552-19-4 CAPLUS
CN Benzoic acid, 2-[[[4-[2-(diethylamino)ethoxy]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

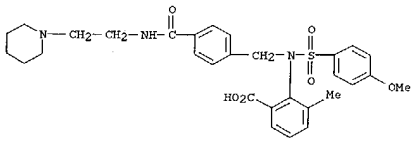


RN 206552-22-9 CAPLUS
CN Benzoic acid, 2-[[[4-(methoxycarbonyl)phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

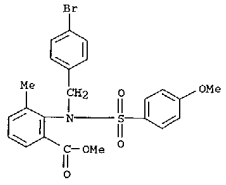
L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206552-25-2 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][[4-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

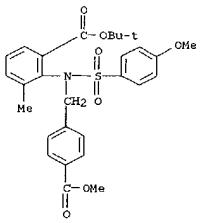


RN 234125-60-1 CAPLUS
CN Benzoic acid, 2-[[(4-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

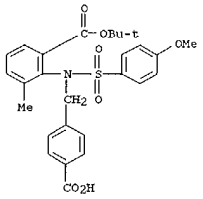


RN 234125-63-4 CAPLUS
CN Benzoic acid, 2-[[[4-[2-(diethylamino)ethoxy]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

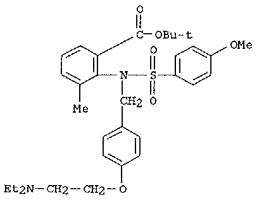


RN 206552-23-0 CAPLUS
CN Benzoic acid, 2-[[(4-carboxyphenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



RN 206552-24-1 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][[4-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]phenyl]methyl]amino]-3-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:271329 CAPLUS
DOCUMENT NUMBER: 130:296613
TITLE: Preparation of N-[(aryloxy)alkyl]piperidines and analogs as pharmaceutical intermediates
INVENTOR(S): Raveendranath, Panoli; Zeldis, Joseph; Vid, Galina; Potoski, John Richard; Ren, Jianxin
PATENT ASSIGNEE(S): American Home Products Corporation, USA
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9919293	A1	19990422	WO 1998-US21609	19981014
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6005102	A	19991221	US 1998-161653	19980928
CA 2306343	AA	19990422	CA 1998-2306343	19981014
AU 9910831	A1	19990503	AU 1999-10831	19981014
AU 757630	B2	20030227		
EP 1025077	A1	20000809	EP 1998-953459	19981014
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9813069	A	20000822	BR 1998-13069	19981014
EE 200000225	A	20010615	EE 2000-200000225	19981014
JP 2001519410	T2	20011023	JP 2000-515866	19981014
NZ 503793	A	20021025	NZ 1998-503793	19981014
ZA 9809435	A	20000417	ZA 1998-9435	19981015
US 6242605	B1	20010605	US 1999-458316	19991210
US 6268504	B1	20010731	US 1999-458317	19991210
NO 2000001938	A	20000607	NO 2000-1938	20000413

PRIORITY APPLN. INFO.: US 1997-90099P P 19971015
US 1997-950818 A 19971015
US 1998-161653 A 19980928
WO 1998-US21609 W 19981014

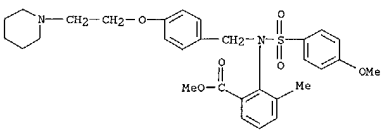
OTHER SOURCE(S): MARPAT 130:296613

AB R(CR1R2)mZZ1CR1R2R3 [R = NR7R8, heterocyclyl, heteroaryl; R1,R2 = H or (perfluoro)alkyl; R3 = halo, OSO2Me, OSO2CF3, OSO2C6H4R4-4; R4 = halo, NO2, Me, CF3; R7,R8 = H, alkyl, Ph; Z = O or SO0-2; Z1 = (un)substituted phenylene; m = 1-4] were prepd. Thus, 4-(HO)C6H4CHO was etherified by 1-(2-chloroethyl)piperidine and the product converted in 2 steps to RCH2CH2OC6H4(CH2Cl)-4 (R = 1-piperidinyl). The latter was employed in prepn. of estrogenic 2-(4-hydroxyphenyl)-3-methyl-1-[4-(2-piperidin-1-ylethoxy)benzyl]-1H-indol-5-ol. Data for biol. activity of pharmaceutical agents were given.

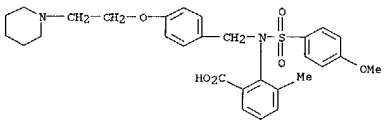
IT **206552-18-3P 206552-20-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-[(aryloxy)alkyl]piperidines and analogs as pharmaceutical intermediates)

L10 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(Reactant or reagent)
(prepn. of N-[(aryloxy)alkyl]piperidines and analogs as pharmaceutical intermediates)

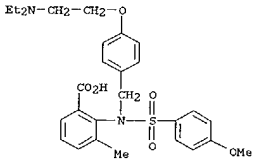
RN 206552-16-1 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)



RN 206552-17-2 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

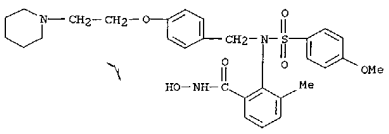


RN 206552-19-4 CAPLUS
CN Benzoic acid, 2-[[[4-[2-(diethylamino)ethoxy]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl- (9CI) (CA INDEX NAME)



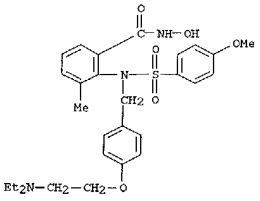
RN 223251-38-5 CAPLUS
CN Benzoic acid, 2-[[[4-[2-(diethylamino)ethoxy]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

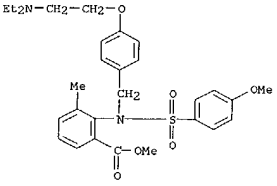
RN 206552-20-7 CAPLUS
CN Benzamide, 2-[[[4-[2-(diethylamino)ethoxy]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT **206552-16-1P 206552-17-2P 206552-19-4P**
223251-38-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L10 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

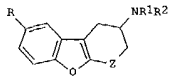


REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:788747 CAPLUS
DOCUMENT NUMBER: 130:66384
TITLE: Preparation of 1,2,3,4-tetrahydro-2-dibenzofuranamines and analogs as 5-HT1F receptor agonists
INVENTOR(S): Flaugh, Michael E.; Kiefer, Anton D., Jr.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: U.S., 25 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

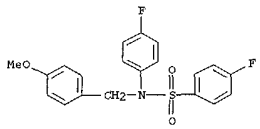
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5846995	A	19981208	US 1997-918155	19970825
US 5932739	A	19990803	US 1998-89745	19980603
US 5935992	A	19990810	US 1998-89975	19980603

PRIORITY APPLN. INFO.: US 1997-918155 19970825
OTHER SOURCE(S): MARPAT 130:66384
GI



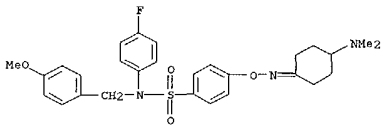
I

AB Title compds. [I; R = halo, OH, NH2, acylamino, alkoxycarbonyl, etc.; R1,R2 = H, alkyl, CH2Ph, CHMeC6H4(NO2)-4; Z = CH2 or CH2CH2] were prepd. Thus, 4-dimethylaminocyclohexanone oxime was O-arylated by 4-FC6H4NO2 and the product refluxed with HCO2H to give, after redn., I (R= NH2, R1 = R2 = Me, Z = CH2). Data for biol. activity of I were given.
IT **203985-71-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 1,2,3,4-tetrahydro-2-dibenzofuranamines and analogs as 5-HT1F receptor agonists)
RN 203985-71-1 CAPLUS
CN Benzenesulfonamide, 4-fluoro-N-(4-fluorophenyl)-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

IT **203985-70-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 1,2,3,4-tetrahydro-2-dibenzofuranamines and analogs as 5-HT1F receptor agonists)
RN 203985-70-0 CAPLUS
CN Benzenesulfonamide, 4-[[[4-(dimethylamino)cyclohexylidene]amino]oxy]-N-(4-fluorophenyl)-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:251153 CAPLUS
DOCUMENT NUMBER: 128:308308
TITLE: The preparation and use of ortho-sulfonamido aryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors
INVENTOR(S): Levin, Jeremy Ian; Du Mila, T.; Venkatesan, Aranapakam Mudumbai; Nelson, Frances Christy; Zask, Arie; Gu, Yansong
PATENT ASSIGNEE(S): American Cyanamid Company, USA
SOURCE: PCT Int. Appl., 164 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816503	A2	19980423	WO 1997-US18280	19971008
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9851458	A1	19980511	AU 1998-51458	19971008
AU 731737	B2	20010405		
EP 938471	A1	19990901	EP 1997-946246	19971008
EP 938471	B1	20011212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9712525	A	19991019	BR 1997-12525	19971008
CN 1240429	A	20000105	CN 1997-180613	19971008
JP 2001504809	T2	20010410	JP 1998-518448	19971008
AT 210637	E	20011215	AT 1997-946246	19971008
ES 2166102	T3	20020401	ES 1997-946246	19971008
ZA 9709233	A	19990415	ZA 1997-9233	19971015
TW 410220	B	20001101	TW 1997-86114187	19971015
KR 2000049196	A	20000725	KR 1999-703294	19990415
HK 1021178	A1	20020404	HK 2000-100090	20000106

PRIORITY APPLN. INFO.: US 1996-732631 A 19961016
WO 1997-US18280 W 19971008
OTHER SOURCE(S): MARPAT 128:308308
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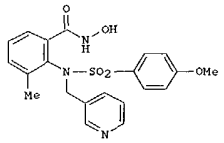
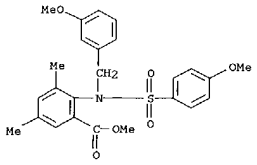


II

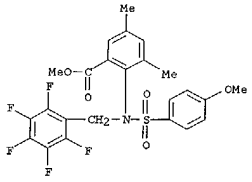
L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

AB The invention relates to novel, low mol. wt., non-peptide inhibitors of matrix metalloproteinases (e.g. gelatinases, stromelysins and collagenases) and TNF-.alpha. converting enzyme (TACE, tumor necrosis factor-.alpha. converting enzyme). The compds. are useful for the treatment of diseases in which these enzymes are implicated such as arthritis, tumor growth and metastasis, angiogenesis, tissue ulceration, abnormal wound healing, periodontal disease, bone disease, proteinuria, aneurysmal aortic disease, degenerative cartilage loss following traumatic joint injury, demyelinating diseases of the nervous system, graft rejection, cachexia, anorexia, inflammation, fever, insulin resistance, septic shock, congestive heart failure, inflammatory disease of the central nervous system, inflammatory bowel disease, HIV infection, age related macular degeneration, diabetic retinopathy, proliferative vitreoretinopathy, retinopathy of prematurity, ocular inflammation, keratoconus, Sjogren's syndrome, myopia, ocular tumors, and ocular angiogenesis/neovascularization. The invention compds. are represented by the formula ZSO2N(CH2R7)ACONHOH [I; A = (un)substituted Ph or naphthyl; Z = (un)substituted aryl, heteroaryl, or benzo-fused heteroaryl; R7 = H, (un)substituted alk(en/yn)yl, Ph, naphthyl, 5- or 6-membered heteroaryl, cycloalkyl, or cycloheteroalkyl; or R7CH2NA forms a non-arom. 1,2-benzo-fused 7- to 10-membered heterocyclic ring with an optional addn. benzo fusion; where the hydroxamic acid moiety and the sulfonamido moiety are bonded to adjacent carbons on group A], and include pharmaceutically acceptable salts, optical isomers, and diastereomers. Prepns. of over 400 compds., including I and their intermediates, are given. For instance, 2-[(4-methoxybenzenesulfonyl)amino]-3-methylbenzoic acid Me ester (prepn. given) was N-alkylated by 3-picolyl chloride-HCl (83%), followed by hydrolysis of the ester with LiOH in aq. THF (100%), activation with oxalyl chloride, and hydroxamidation with NH2OH.HCl (51%), to give title compd. II. At 50 mg/kg/day in rats with cartilage implants, II gave 44.6% inhibition of cartilage wt. loss, and 51.2% inhibition of cartilage collagen loss.
IT **206547-85-5P 206547-86-6P 206547-88-8P**
206547-89-9P 206547-90-2P 206547-91-3P
206547-92-4P 206547-94-6P 206547-95-7P
206547-96-8P 206551-80-6P 206551-81-7P
206551-82-8P 206551-83-9P 206551-88-4P
206552-16-1P 206552-17-2P 206552-19-4P
206552-22-9P 206552-23-0P 206552-24-1P
206552-25-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of ortho-sulfonamido aryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)
RN 206547-85-5 CAPLUS
CN Benzoic acid, 2-[[(3-methoxyphenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

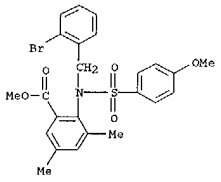
L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206547-86-6 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][(pentafluorophenyl)methyl]amino]-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

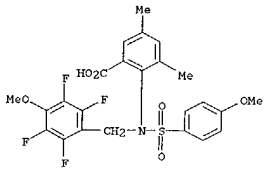


RN 206547-88-8 CAPLUS
CN Benzoic acid, 2-[[(2-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)

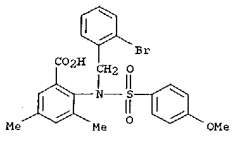


RN 206547-89-9 CAPLUS

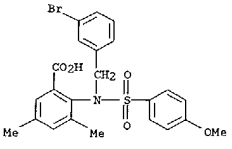
L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 206547-92-4 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][(2,3,5,6-tetrafluoro-4-methoxyphenyl)methyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)



RN 206547-94-6 CAPLUS
CN Benzoic acid, 2-[[(2-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)

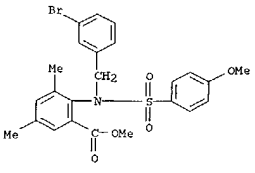


RN 206547-95-7 CAPLUS
CN Benzoic acid, 2-[[(3-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)

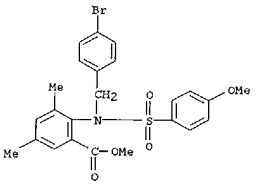


RN 206547-96-8 CAPLUS
CN Benzoic acid, 2-[[(4-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)

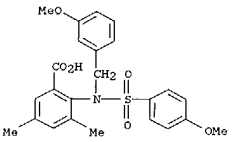
L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Benzoic acid, 2-[[(3-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



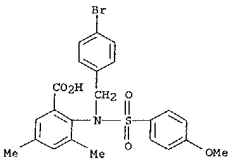
RN 206547-90-2 CAPLUS
CN Benzoic acid, 2-[[(4-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl-, methyl ester (9CI) (CA INDEX NAME)



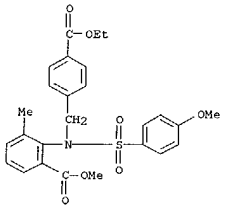
RN 206547-91-3 CAPLUS
CN Benzoic acid, 2-[[(3-methoxyphenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)



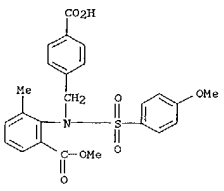
L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206551-80-6 CAPLUS
CN Benzoic acid, 2-[[[4-(ethoxycarbonyl)phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

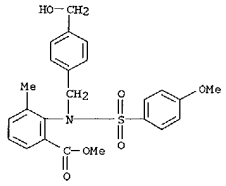


RN 206551-81-7 CAPLUS
CN Benzoic acid, 2-[[(4-carboxyphenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, 1-methyl ester (9CI) (CA INDEX NAME)

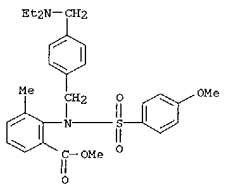


L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 206551-82-8 CAPLUS
CN Benzoic acid, 2-[[[4-(hydroxymethyl)phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

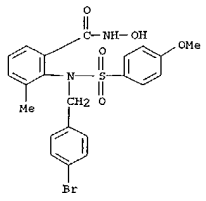


RN 206551-83-9 CAPLUS
CN Benzoic acid, 2-[[[4-[(diethylamino)methyl]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

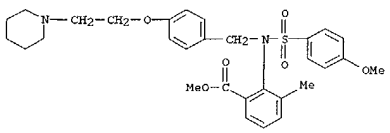


RN 206551-88-4 CAPLUS
CN Benzamide, 2-[[(4-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

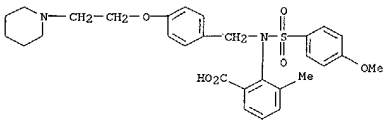
L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206552-16-1 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl-, methyl ester (9CI) (CA INDEX NAME)

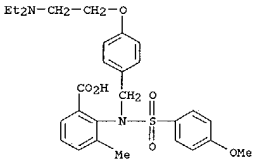


RN 206552-17-2 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

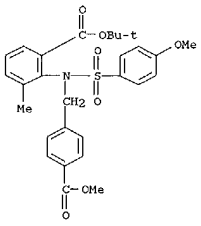


RN 206552-19-4 CAPLUS
CN Benzoic acid, 2-[[[4-[2-(diethylamino)ethoxy]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

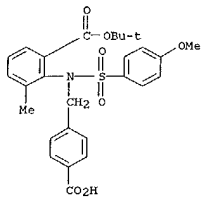


RN 206552-22-9 CAPLUS
CN Benzoic acid, 2-[[[4-(methoxycarbonyl)phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

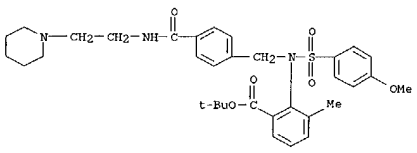


RN 206552-23-0 CAPLUS
CN Benzoic acid, 2-[[(4-carboxyphenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3-methyl-, 1-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

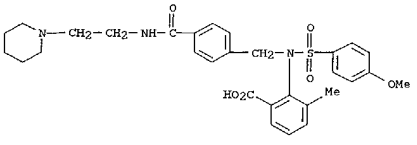
L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206552-24-1 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][[4-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]phenyl]methyl]amino]-3-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



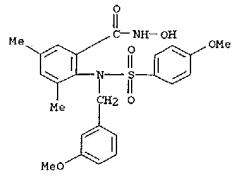
RN 206552-25-2 CAPLUS
CN Benzoic acid, 2-[[(4-methoxyphenyl)sulfonyl][[4-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)



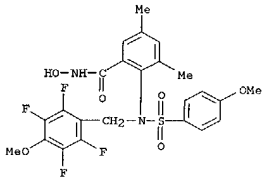
IT **206547-97-9P 206547-98-0P 206548-00-7P**
206548-01-8P 206548-02-9P 206550-50-7P
206550-51-8P 206550-72-3P 206550-74-5P
206550-76-7P 206550-78-9P 206551-84-0P
206551-85-1P 206552-18-3P 206552-20-7P

L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
206552-21-8P 206552-26-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ortho-sulfonamido aryl hydroxamic acids as matrix metalloproteinase and TACE inhibitors)

RN 206547-97-9 CAPLUS
CN Benzamide, N-hydroxy-2-[[[3-methoxyphenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)

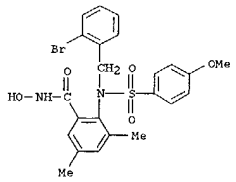


RN 206547-98-0 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][(2,3,5,6-tetrafluoro-4-methoxyphenyl)methyl]amino]-3,5-dimethyl- (9CI) (CA INDEX NAME)

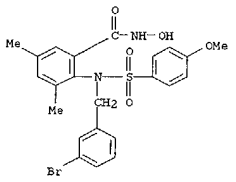


RN 206548-00-7 CAPLUS
CN Benzamide, 2-[[(2-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3,5-dimethyl- (9CI) (CA INDEX NAME)

L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

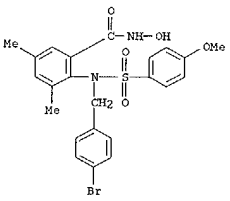


RN 206548-01-8 CAPLUS
CN Benzamide, 2-[[(3-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3,5-dimethyl- (9CI) (CA INDEX NAME)

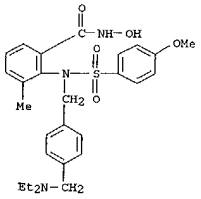


RN 206548-02-9 CAPLUS
CN Benzamide, 2-[[(4-bromophenyl)methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3,5-dimethyl- (9CI) (CA INDEX NAME)

L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

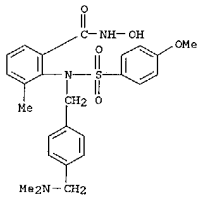


RN 206550-50-7 CAPLUS
CN Benzamide, 2-[[[4-[(diethylamino)methyl]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

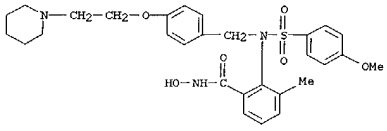


RN 206550-51-8 CAPLUS
CN Benzamide, 2-[[[4-[(dimethylamino)methyl]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

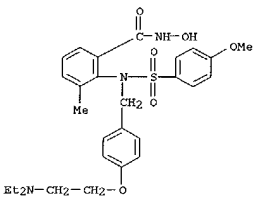
L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 206550-72-3 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

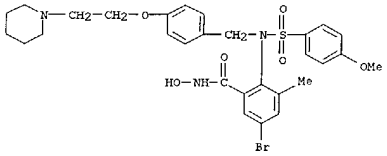


RN 206550-74-5 CAPLUS
CN Benzamide, 2-[[[4-[2-(diethylamino)ethoxy]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

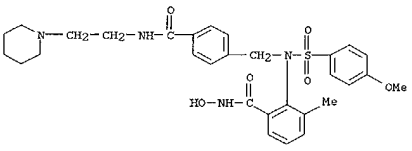


RN 206550-76-7 CAPLUS
CN Benzamide, 5-bromo-N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

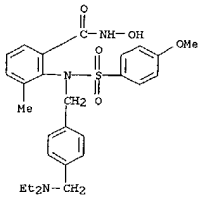


RN 206550-78-9 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][[4-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]phenyl]methyl]amino]-3-methyl- (9CI) (CA INDEX NAME)



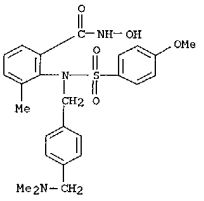
RN 206551-84-0 CAPLUS
CN Benzamide, 2-[[[4-[(diethylamino)methyl]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

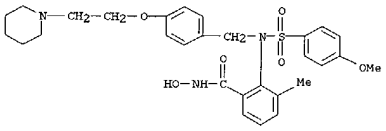
RN 206551-85-1 CAPLUS
CN Benzamide, 2-[[[4-[(dimethylamino)methyl]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

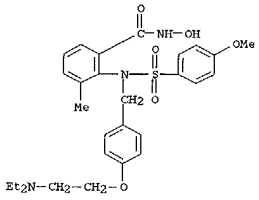
RN 206552-18-3 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

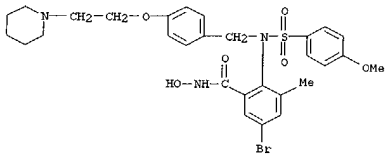
RN 206552-20-7 CAPLUS
CN Benzamide, 2-[[[4-[2-(diethylamino)ethoxy]phenyl]methyl][(4-methoxyphenyl)sulfonyl]amino]-N-hydroxy-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

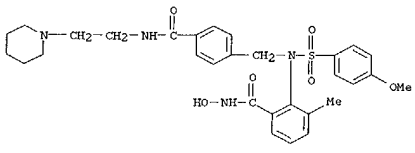
RN 206552-21-8 CAPLUS
CN Benzamide, 5-bromo-N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]amino]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L10 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



● HCl

RN 206552-26-3 CAPLUS
CN Benzamide, N-hydroxy-2-[[(4-methoxyphenyl)sulfonyl][[4-[[[2-(1-piperidinyl)ethyl]amino]carbonyl]phenyl]methyl]amino]-3-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

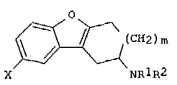


● HCl

L10 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1998:161126 CAPLUS
DOCUMENT NUMBER: 128:204796
TITLE: Substituted 1,2,3,4-tetrahydro-2-dibenzofuranamines and 2-aminocyclohepta[b]benzofurans as 5-HT1F agonists
INVENTOR(S): Flaugh, Michael E.; Kiefer, Anton D., Jr.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808502	A1	19980305	WO 1997-US14938	19970825
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9740880	A1	19980319	AU 1997-40880	19970825
AU 741324	B2	20011129		
EP 929299	A1	19990721	EP 1997-938585	19970825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
BR 9711273	A	19990817	BR 1997-11273	19970825
CN 1228695	A	19990915	CN 1997-197483	19970825
NZ 334031	A	20000728	NZ 1997-334031	19970825
JP 2000516957	T2	20001219	JP 1998-511794	19970825
MX 9901756	A	20000831	MX 1999-1756	19990222
NO 9900849	A	19990223	NO 1999-849	19990223

PRIORITY APPLN. INFO.: US 1996-24745P P 19960828
WO 1997-US14938 W 19970825
OTHER SOURCE(S): CASREACT 128:204796; MARPAT 128:204796
GI

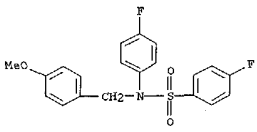


AB The invention provides substituted-2-amino-1,2,3,4-tetrahydrodibenzofurans and 2-aminocyclohepta[b]benzofurans useful as 5-HT1F agonists. Claimed compds. are I [R1, R2 = H, C1-4 alkyl, benzyl, .alpha.-methyl-4-nitrobenzyl; X = NO2, halo, OH, NH2, -CN, NHC(O)R3, C(O)R6, NHSO2R7, SO2NHR10; R3 = C1-6 alkyl, C2-6 alkenyl, C3-8 cycloalkyl, (un)substituted Ph, naphthyl, phenyl(C1-4 alkylene), thienylmethyl, heterocyclyl; R6 = OH, amino, C1-6 alkoxy, PhCH2O, PhO, NHR8; R7, R10 = C1-6 alkyl, Ph

L10 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(un)substituted with one halo or C1-4 alkyl group; R8 = C1-6 alkyl, C2-6 alkenyl, C3-8 cycloalkyl, (un)substituted Ph, naphthyl, heterocyclyl; m = 1, 2] and their pharmaceutically acceptable salts. Pharmaceutical formulations of I are also claimed (2 examples). To demonstrate the use of compds. I in the treatment of migraine, their ability to bind to the 5-HT1F receptor subtype was detd. All compds. I tested exhibited an IC50 at the 5-HT1F receptor of .gtoreq. 5 .mu.mol.

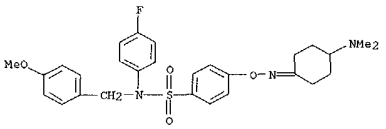
IT **203985-71-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(for prepn. of substituted 1,2,3,4-tetrahydro-2-dibenzofuranamines and 2-aminocyclohepta[b]benzofurans as 5-HT1F agonists)

RN 203985-71-1 CAPLUS
CN Benzenesulfonamide, 4-fluoro-N-(4-fluorophenyl)-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



IT **203985-70-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(for prepn. of substituted 1,2,3,4-tetrahydro-2-dibenzofuranamines and 2-aminocyclohepta[b]benzofurans as 5-HT1F agonists)

RN 203985-70-0 CAPLUS
CN Benzenesulfonamide, 4-[[[4-(dimethylamino)cyclohexylidene]amino]oxy]-N-(4-fluorophenyl)-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



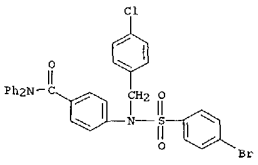
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:739133 CAPLUS
DOCUMENT NUMBER: 127:346653
TITLE: Iterative amination strategy in the synthesis of peptidomimetics
AUTHOR(S): Frost, Christopher G.; Mendonca, Paul
CORPORATE SOURCE: School of Chemistry, University of Bath, Bath, BA2 7AY, UK
SOURCE: Chemistry Letters (1997), (11), 1159-1160
CODEN: CMLTAG; ISSN: 0366-7022
PUBLISHER: Chemical Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 127:346653

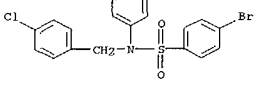
AB An iterative palladium catalyzed cross-coupling reaction of aryl bromides with amines has been employed in the prepn. of novel peptidomimetics. This is a versatile strategy with which we can demonstrate the principle of library synthesis by using a diverse range of coupling partners.

IT **198225-00-2P 198225-03-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(iterative amination strategy in synthesis of peptidomimetics)

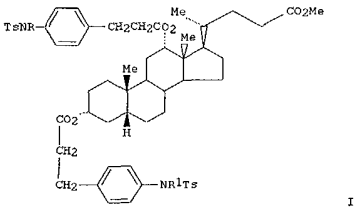
RN 198225-00-2 CAPLUS
CN Benzamide, 4-[[(4-bromophenyl)sulfonyl][(4-chlorophenyl)methyl]amino]-N,N-diphenyl- (9CI) (CA INDEX NAME)



RN 198225-03-5 CAPLUS
CN Benzenesulfonamide, 4-bromo-N-[(4-chlorophenyl)methyl]-N-[4-[[phenyl(phenylmethyl)amino]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:317210 CAPLUS
DOCUMENT NUMBER: 122:291287
TITLE: Design and synthesis of new bile acid-based macrocycles
AUTHOR(S): Maitra, Uday; Balasubramanian, S.
CORPORATE SOURCE: Dep. Org. Chemistry, Indian Inst. Science, Bangalore, 560 012, India
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1995), (1), 83-8
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:291287
GI



AB Title compds. I [RR1 = (CH2)5, m-CH2C6H4N:NC6H4CH2-m, CH2] were prepd. from 7-deoxycholic acid by acylation with 4-O2NC6H4CH2CH2COCl, redn. to the amine, tosylation, and reaction with dihalide.

IT **162850-24-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(design and synthesis of new bile acid-based macrocycles)

RN 162850-24-0 CAPLUS
CN Benzenepropanoic acid, 4,4'-[azobis[4,1-phenylenemethylene[[(4-methylphenyl)sulfonyl]imino]]]bis-, dimethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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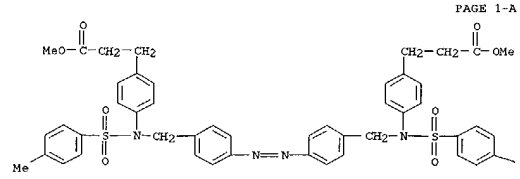

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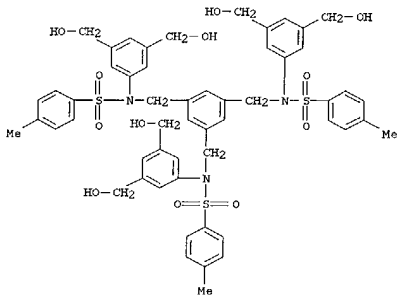
L10 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:509815 CAPLUS
DOCUMENT NUMBER: 121:109815
TITLE: Dendrimers with bulky repeat units using a new repetitive synthetic strategy
AUTHOR(S): Mekelburger, Hans Bernhard; Voegtle, Fritz
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-5300/1, Germany
SOURCE: Supramolecular Chemistry (1993), 1(3-4), 187-9
CODEN: SCHEER; ISSN: 1061-0278
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Monodisperse dendrimers with remarkable soly. have been obtained using a new repetitive synthetic strategy. The third-generation nanoscale dendrimer having 24 functional groups already reaches a mol. mass of 6910 Da. The dendrimer is based on N'-[3,5-di(methoxycarbonyl)phenyl]4-methylbenzenesulfonamide.

IT **149401-96-7P 149402-02-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and bromination of)

RN 149401-96-7 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-[3,5-bis(hydroxymethyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 149402-02-8 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-[3,5-bis[[3,5-bis(hydroxymethyl)phenyl][(4-methylphenyl)sulfonyl]amino]methyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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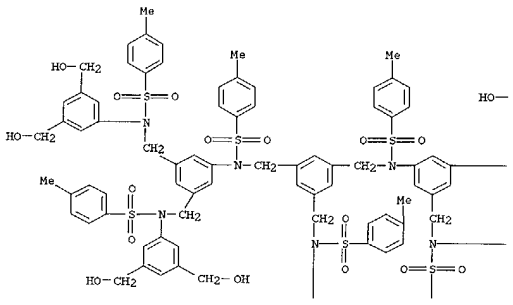

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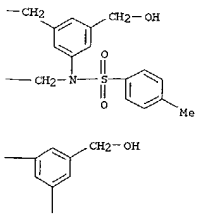

L10 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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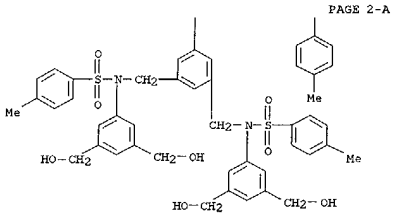

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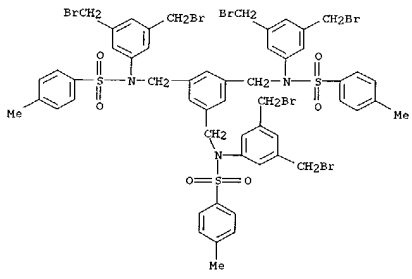
IT **149401-98-9P 149402-03-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation of, with [di(methoxycarbonyl)phenyl]methylbenzenesulfonamide)

RN 149401-98-9 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-[3,5-bis(bromomethyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 149402-03-9 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-

L10 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
[3,5-bis[[[3,5-bis(bromomethyl)phenyl][(4-methylphenyl)sulfonyl]amino]methyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)

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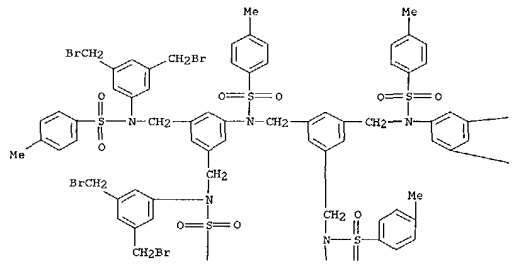

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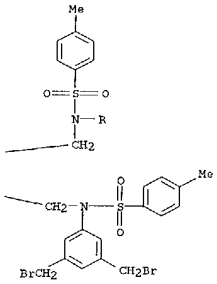

L10 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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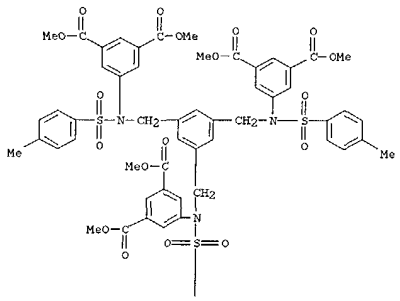

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RN 149402-00-6 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5',5'',5''',5'''',5'''''-[1,3,5-benzenetriyltris[methylene[[(4-methylphenyl)sulfonyl]imino]-5,1,3-benzenetriyl]bis[methylene[[(4-methylphenyl)sulfonyl]imino]]]hexakis-, dodecamethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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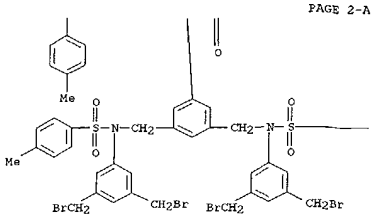

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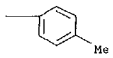

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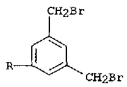

IT **149401-94-5P 149402-00-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)
RN 149401-94-5 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5',5''-[1,3,5-benzenetriyltris[methylene[(4-methylphenyl)sulfonyl]imino]]tris-, hexamethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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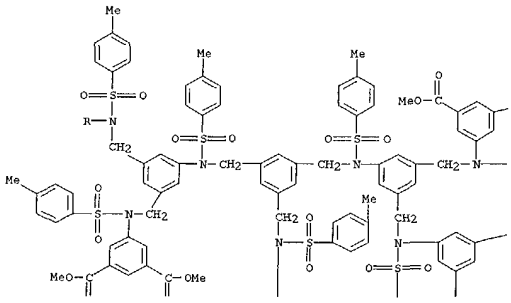

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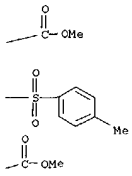
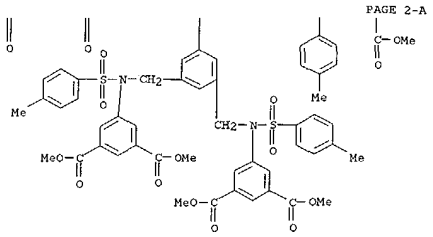
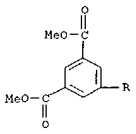


L10 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)





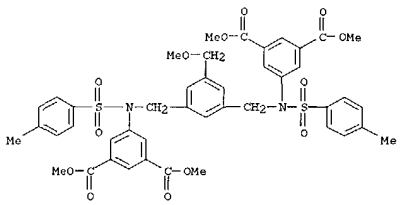
L10 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:410127 CAPLUS
DOCUMENT NUMBER: 121:10127
TITLE: Dendrimers and dendrimer building blocks with trisubstituted benzene and "hexacyclene" as core units
AUTHOR(S): Kadei, Klaus; Moors, Rolf; Voegtle, Fritz
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-53121, Germany
SOURCE: Chemische Berichte (1994), 127(5), 897-903
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German

AB The prepn. of new dendritic compds. contg. 1,3,5-substituted arom. units or "hexacyclene" is described. Bulky dendrimers are obtained in few generations starting with polyfunctional core units. The dendrimers are synthesized by using both the divergent method and the convergent method.

IT **155940-56-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and bromination of)

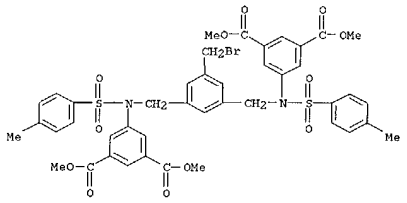
RN 155940-56-0 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5'-[[5-(methoxymethyl)-1,3-phenylene]bis[methylene[[(4-methylphenyl)sulfonyl]imino]]]bis-, tetramethyl ester (9CI) (CA INDEX NAME)



IT **155940-57-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation with hexaaza crown compd.)

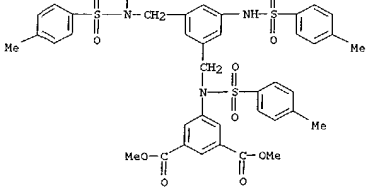
RN 155940-57-1 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5'-[[5-(bromomethyl)-1,3-phenylene]bis[methylene[[(4-methylphenyl)sulfonyl]imino]]]bis-, tetramethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT **155940-55-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation with hexabromo compd.)

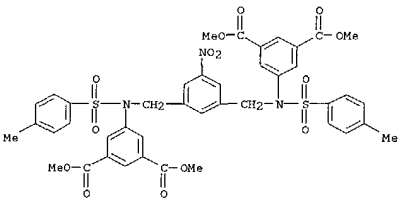
RN 155940-55-9 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5'-[[5-[[(4-methylphenyl)sulfonyl]amino]-1,3-phenylene]bis[methylene[[(4-methylphenyl)sulfonyl]imino]]]bis-, tetramethyl ester (9CI) (CA INDEX NAME)



IT **155940-53-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)

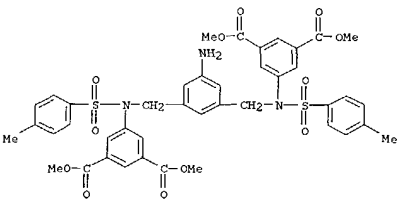
RN 155940-53-7 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5'-[(5-nitro-1,3-phenylene)bis[methylene[[(4-methylphenyl]sulfonyl]imino]]]bis-, tetramethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT **155940-54-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and tosylation of)

RN 155940-54-8 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5'-[(5-amino-1,3-phenylene)bis[methylene[[(4-methylphenyl)sulfonyl]imino]]]bis-, tetramethyl ester (9CI) (CA INDEX NAME)



IT **155940-49-1P 155940-51-5P 155940-52-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as dendrimer core unit)

RN 155940-49-1 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5',5'',5'''-[[1,1'-biphenyl]-3,3',5,5'-tetrayltetrakis[methylene[[(4-methylphenyl)sulfonyl]imino]]]tetrakis-, octamethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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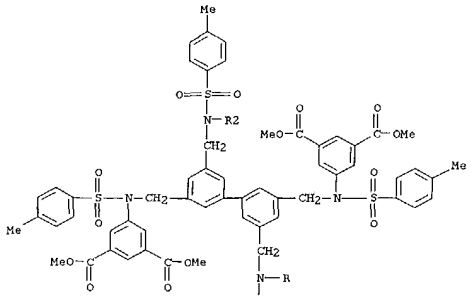

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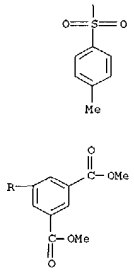

L10 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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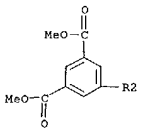

RN 155940-51-5 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5',5'',5''',5'''',5''''',5'''''-[1,3,5-benzenetriyltris[2,1-ethanediyl-5,1,3-benzenetriylbis(methylene[[(4-methylphenyl)sulfonyl]imino]]]]hexakis-, dodecamethyl ester (9CI) (CA INDEX NAME)

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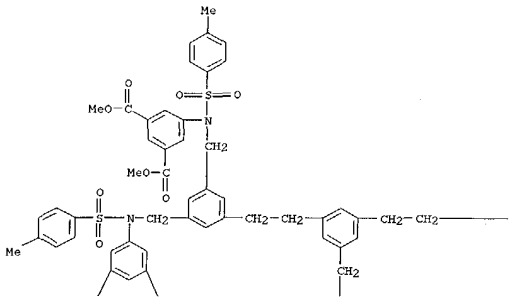

L10 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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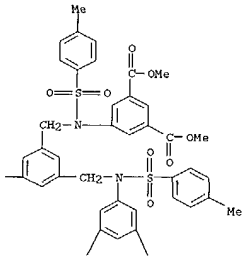

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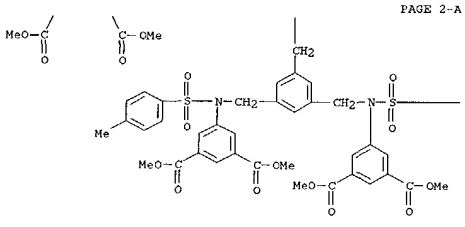

L10 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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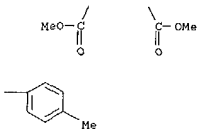

RN 155940-52-6 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5',5'',5'''-[[5'-[4-[[[3,5-bis[[3,5-bis(methoxycarbonyl)phenyl][(4-methylphenyl)sulfonyl]amino]methyl]phenyl][(4-methylphenyl)sulfonyl]amino]methyl]phenyl][1,1':3',1''-terphenyl]-4,4''-diyl]bis[methylene[[(4-methylphenyl)sulfonyl]imino]-5,1,3-benzenetriylbis[methylene[[(4-methylphenyl)sulfonyl]imino]]]]tetrakis-, octamethyl ester (9CI) (CA INDEX NAME)

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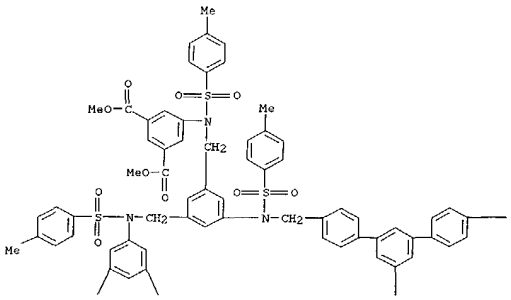

L10 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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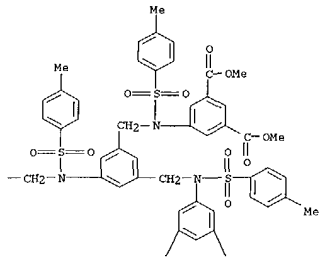

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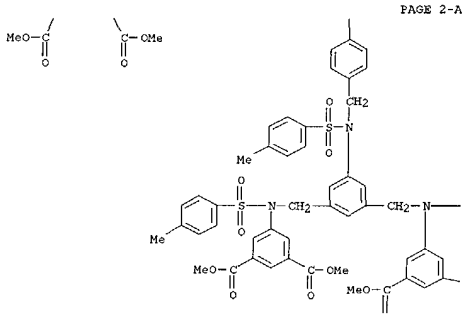

L10 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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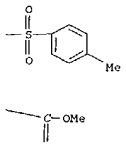

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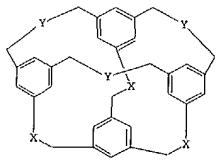
L10 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1994:106967 CAPLUS
DOCUMENT NUMBER: 120:106967
TITLE: Concave macroheterocycles
AUTHOR(S): Mekelburger, Hans Bernhard; Gross, Jens; Schmitz, Juergen; Nieger, Martin; Voegtle, Fritz
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-5300/1, Germany
SOURCE: Chemische Berichte (1993), 126(7), 1713-21
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 120:106967
GI



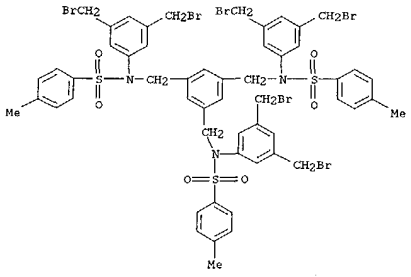
I

AB Macrocyclic compds. of the general type I having a spherical shape and a mol. cavity have been synthesized. A fold and paste-type cyclization step generates I (X = NTs, Y = S; X = NTs, NH, NSO2C6H4CMe3-4, CH2, Y = NTs; Ts = tosyl). Since this approach is difficult to apply for O-substituted derivs., I (X = O, Y = CH2) was synthesized by intermol. cyclization. The X-ray structure anal. of I (X = NH, Y = NTs) shows intermol. interactions (dimer formation) in the crystal.

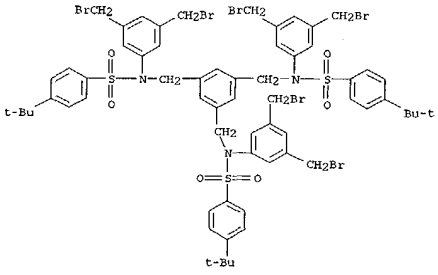
IT **149401-98-9 149401-99-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant, prepn. of heteroheptacyclononatriacontadodecaene)

RN 149401-98-9 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-[3,5-bis(bromomethyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 149401-99-0 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-[3,5-bis(bromomethyl)phenyl]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



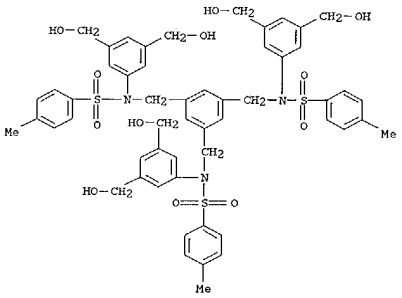
L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:517953 CAPLUS
DOCUMENT NUMBER: 119:117953
TITLE: Repetitive synthesis of bulky dendrimers - a reversibly photoactive dendrimer with six azobenzene side chains
AUTHOR(S): Mekelburger, Hans Bernhard; Rissanen, Kari; Voegtle, Fritz
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, W-5300/1, Germany
SOURCE: Chemische Berichte (1993), 126(5), 1161-9
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Dendrimers with bulky repeating units contg. .ltoreq.43 benzene rings were obtained by using a repetitive divergent synthetic strategy (3 generations). The new functional dendrimer contg. 6 azobenzene units at the periphery was synthesized allowing a reversible switching of the shape and size of the mol. upon irradn. An X-ray structure anal. of the dendritic mol. shows the inclusion of acetonitrile.

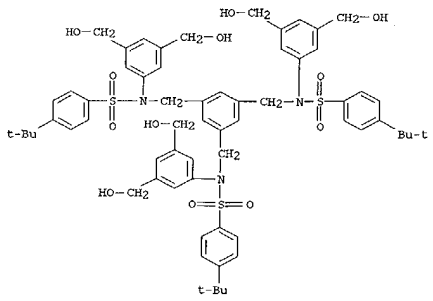
IT **149401-96-7P 149401-97-8P 149402-02-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and bromination of)

RN 149401-96-7 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-[3,5-bis(hydroxymethyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 149401-97-8 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-[3,5-bis(hydroxymethyl)phenyl]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 149402-02-8 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-[3,5-bis[[[3,5-bis(hydroxymethyl)phenyl][(4-methylphenyl)sulfonyl]amino]methyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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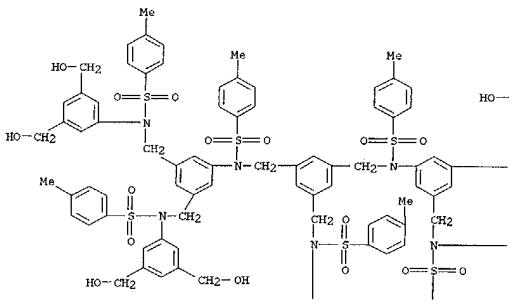

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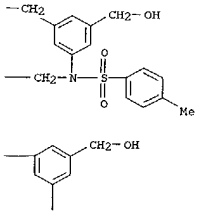

L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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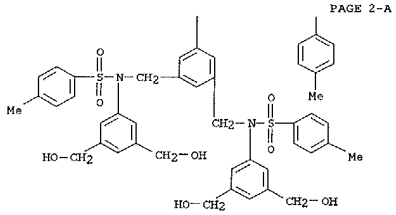

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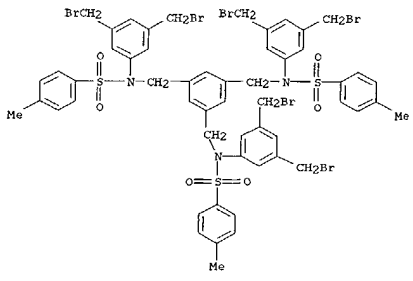
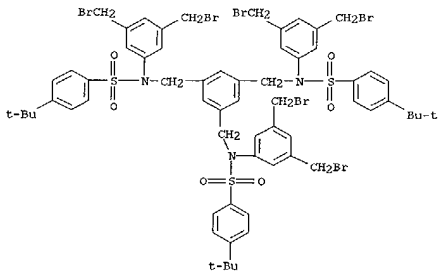
IT **149401-98-9P 149401-99-0P 149402-03-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and condensation with tosylated di-Me aminoisophthalate)

RN 149401-98-9 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-[3,5-bis(bromomethyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 149401-99-0 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-[3,5-bis(bromomethyl)phenyl]-4-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 149402-03-9 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-[3,5-bis[[[3,5-bis(bromomethyl)phenyl][(4-methylphenyl)sulfonyl]amino]methyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)

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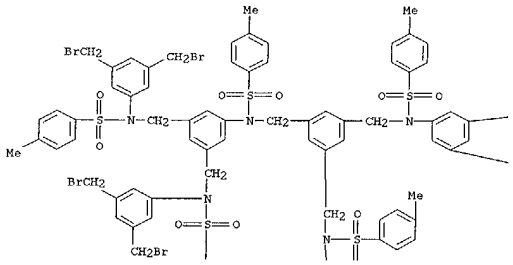

L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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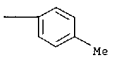

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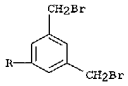

IT **149401-94-5P 149401-95-6P 149402-00-6P 149402-01-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)
RN 149401-94-5 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5',5''-[1,3,5-benzenetriyltris[methylene[(4-methylphenyl)sulfonyl]imino]]tris-, hexamethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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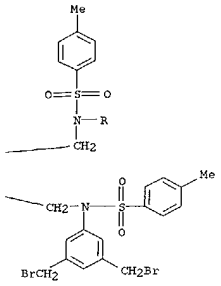

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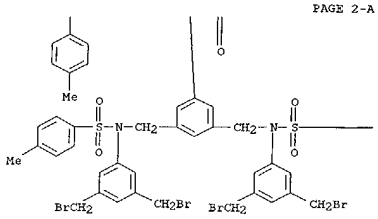

L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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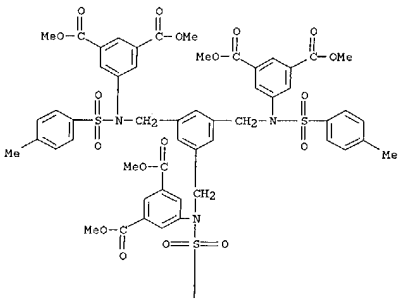

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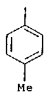
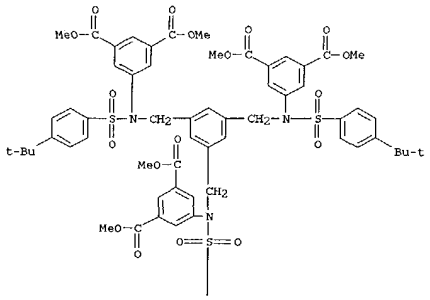


RN 149401-95-6 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5',5''-[1,3,5-benzenetriyltris[methylene[[4-(1,1-dimethylethyl)phenyl]sulfonyl]imino]]tris-, hexamethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

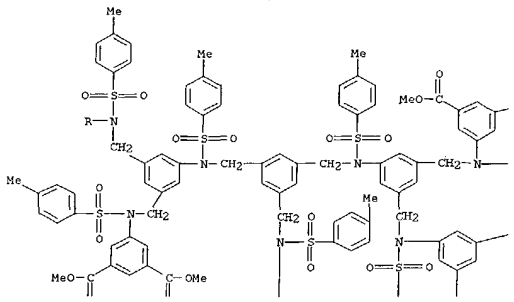


PAGE 2-A

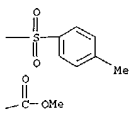
RN 149402-00-6 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5',5'',5''',5'''',5'''''-[1,3,5-benzenetriyltris[methylene[[(4-methylphenyl)sulfonyl]imino]-5,1,3-benzenetriyl]bis[methylene[[(4-methylphenyl)sulfonyl]imino]]]hexakis-, dodecamethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

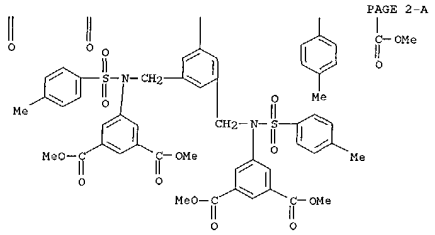


PAGE 1-B



L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



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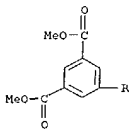

RN 149402-01-7 CAPLUS
CN 1,3-Benzenedicarboxylic acid, 5,5',5'',5''',5'''',5'''''-[1,3,5-benzenetriyltris[methylene[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]imino]-5,1,3-benzenetriyl]bis[methylene[[[4-(1,1-dimethylethyl)phenyl]sulfonyl]imino]]]hexakis-, dodecamethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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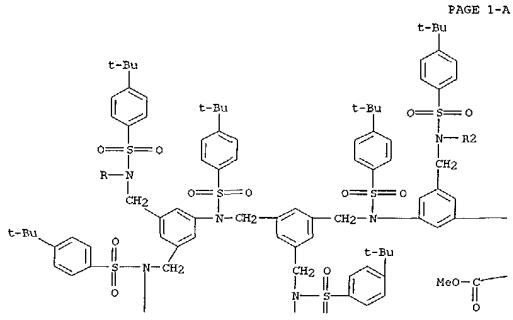
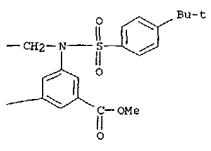
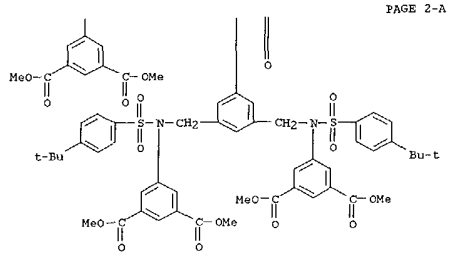


PAGE 1-B

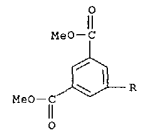


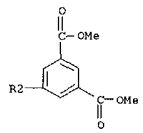
L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



PAGE 3-A





IT **149402-04-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and x-ray anal. of)
RN 149402-04-0 CAPLUS
CN Benzenesulfonamide, N,N',N''-[1,3,5-benzenetriyltris(methylene)]tris[N-[3,5-bis[[[(4-methylphenyl)sulfonyl][3-(phenylazo)phenyl]amino]methyl]phenyl]-4-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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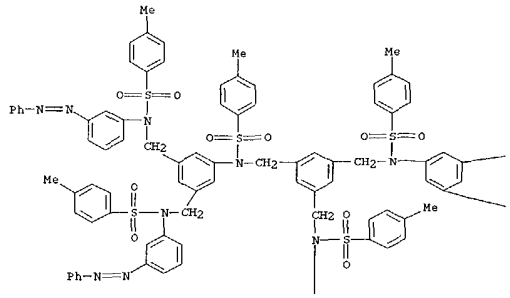
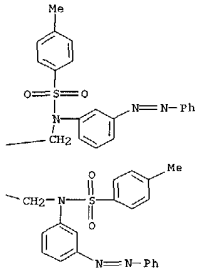
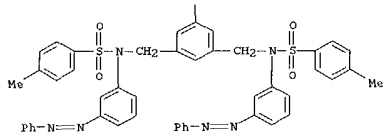


PAGE 1-B



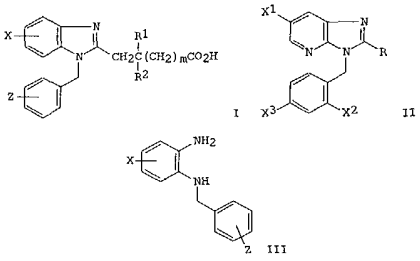
L10 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 2-A



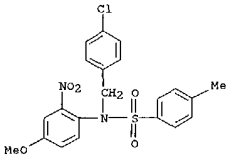
L10 ANSWER 22 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:472538 CAPLUS
DOCUMENT NUMBER: 119:72538
TITLE: Synthesis and structure-activity relationships of novel benzimidazole and imidazo[4,5-b]pyridine acid derivatives as thromboxane A2 receptor antagonists
AUTHOR(S): Nicolai, Eric; Goyard, Joel; Benchetrit, Thierry; Teulon, Jean Marie; Caussade, Francois; Virone, Angela; Delchambre, Chantal; Cloarec, Alix
CORPORATE SOURCE: Carpibem, Rueil Malmaison, 92500, Fr.
SOURCE: Journal of Medicinal Chemistry (1993), 36(9), 1175-87
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB A series of 1-benzylbenzimidazoles , e.g., I [R1 = Me, H; R2 = Me, H; R1R2 = (CH2)4, (CH2)4, (CH2)5; m = 0, 1; X = 5-F, 5-Cl, 5-OMe, Z = 4-halo, 4-SMe, 4-OMe], and 3-benzylimidazo[4,5-b]pyridines II (X1 = Cl, H, X2 = H, F, X3 = Cl, Br, SMe; R = S(CH2)3CO2H, SCMe2CO2H, CH2CMe2CH2CO2H) substituted in the 2-position by an alkanoic or mercaptoalkanoic acid chain was synthesized for evaluation as potential thromboxane A2/prostaglandin H2 (TXA2/PGH2) receptor antagonists. Thus, (benzylamino)anilines III cyclized with ClCOCH2CR1R2(CH2)mCO2Et to give (benzylbenzimidazolyl)alkanoates which were hydrolyzed to give I. The affinity of each compd. for washed human platelet TXA2/PGH2 receptors was detd. by radioligand binding studies using [125I]PTA-OH. Structure-activity relationships led to the conclusions that 2-alkanoic acid derivs. were slightly more potent than 2-mercaptoalkanoic acids and that compds. possessing a 3,3-dimethylbutanoic acid in the 2-position were definitely the most potent with Ki values of 4-39 nM. The replacement of this 3,3-dimethylbutanoic acid side chain by a shorter one led to a marked decrease of affinity (Ki = 5600 and 1700 nM). Compds. of benzimidazole and imidazo[4,5-b]pyridines series displayed similar potencies. The interesting pharmacol. profile of compd. (UP 116-77: 4-[3-[(4-chlorophenyl)methyl]-6-chloroimidazo[4,5-b]pyridin-2-yl]-3,3-dimethylbutanoic acid) and its excellent tolerance led us to select this deriv. for further development.

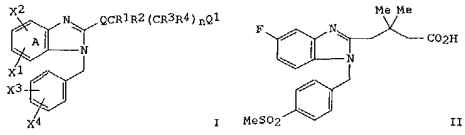
L10 ANSWER 22 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
IT **7288-56-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and detosylation of)
RN 7288-56-4 CAPLUS
CN Benzenesulfonamide, N-[(4-chlorophenyl)methyl]-N-(4-methoxy-2-nitrophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:234055 CAPLUS
DOCUMENT NUMBER: 118:234055
TITLE: Preparation of (aza)benzimidazole derivatives which are thromboxane receptor antagonists
INVENTOR(S): Bru-Magniez, Nicole; Nicolai, Eric; Teulon, Jean Marie
PATENT ASSIGNEE(S): Laboratoires UPSA, Fr.
SOURCE: U.S., 31 pp. Cont.-in-part of U.S. 5,021,443.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

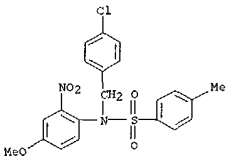
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5124336	A	19920623	US 1991-650732	19910205
FR 2658511	A1	19910823	FR 1990-1925	19900216
FR 2658511	B1	19920619		
US 5021443	A	19910604	US 1990-493880	19900315
PRIORITY APPLN. INFO.:			FR 1990-1925	19900216
			US 1990-493880	19900315

OTHER SOURCE(S): MARPAT 118:234055
GI



AB Title compds. [I; A = arom. ring, N heterocycle; X1 - X4 = H, halo, (cyclo)alkyl, halo, alkoxy, alkylthio, alkylsulfonyl, alkylsufinyl, CF3, NO2, OH, CO2H, alkoxycarbonyl, etc.; or X3X4= benzo ring; Q = CR5R6, S; R5,R6 = H, (cyclo)alkyl; R1-R4 = H, (cyclo)alkyl; CR1R2 or CR3R4 can form a cycloalkyl or cycloalkene ring with Q; or R1R2, R3R4 = atoms to form rings; n = 0-4; Q1 = CO2R7, CONHR8, cyano; or R7, R8 = H, (cyclo)alkyl], were prepd. Thus, 4-[1-(4-methylthiobenzyl)-5-fluorobenzimidazol-2-yl]-3,3-dimethylbutanoic acid (prepn. given) was stirred with 3-ClC6H4CO2OH in MeOH at 0.degree. to room temp. to give title compd. (II). II inhibited the binding of [125I]PTA-OH to TXA2 receptors of human platelets with Ki = 3.70 X10-8 M.
IT **7288-56-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for (aza)benzimidazole benzylimidazole thromboxane receptor antagonist)
RN 7288-56-4 CAPLUS
CN Benzenesulfonamide, N-[(4-chlorophenyl)methyl]-N-(4-methoxy-2-nitrophenyl)-4-methyl- (9CI) (CA INDEX NAME)

L10 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L10 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1992:21043 CAPLUS
DOCUMENT NUMBER: 116:21043
TITLE: Preparation of 1-benzyl-2-carboxyalkylbenzimidazoles as thromboxane antagonists
INVENTOR(S): Bru-Magnicz, Nicole; Nicolai, Eric; Teulon, Jean Marie
PATENT ASSIGNEE(S): Laboratoires UPSA S. A., Fr.
SOURCE: U.S., 19 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5021443	A	19910604	US 1990-493880	19900315
FR 2658511	A1	19910823	FR 1990-1925	19900216
FR 2658511	B1	19920619		
CA 2035710	AA	19910817	CA 1991-2035710	19910205
US 5124336	A	19920623	US 1991-650732	19910205
US 5128359	A	19920707	US 1991-650742	19910205
AU 9170874	A1	19910822	AU 1991-70874	19910207
AU 638096	B2	19930617		
IL 97191	A1	19950315	IL 1995-97191	19910208
ZA 9101061	A	19911127	ZA 1991-1061	19910213
EP 442820	A1	19910821	EP 1991-400393	19910215
EP 442820	B1	19950913		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 05155858	A2	19930622	JP 1991-42378	19910215
ES 2080919	T3	19960216	ES 1991-400393	19910215
LV 11028	B	19960620	LV 1995-309	19951012
PRIORITY APPLN. INFO.:			FR 1990-1925	19900216
			US 1990-493880	19900315

OTHER SOURCE(S): MARPAT 116:21043
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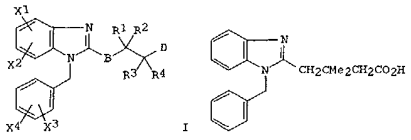
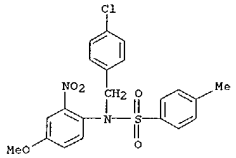


AB Title compds. [I; X1-X4 = H, halo, alkyl, alkoxy, alkylthio, CF3, OH, NO2 HOCH2, CO2H, alkoxycarbonyl, SO- or SO2-contg. groups; X3X4 = CH:CHCH:CH; B = CR5R6, S; R1-R9 = H, alkyl; R1R2, R3R4 = atoms to form C3-6 rings; CR1R2CR5R6, CR3R4CR5R6 = C3-7 cycloalkyl; D = CO2R7, CONHR8, cyano, PO(OR9)2, NHSO2CF3], were prepd. Thus, Et 4-(1-benzylbenzimidazol-2-yl)-3,3-dimethylbutanoate (prepn. given) was refluxed in HCl/HOAc/H2O for 4 h to give title compd. II. I at 10-5M gave 60-100% displacement of [125I]PTA-OH from human platelets.

L10 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
IT **7288-56-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for (benzylbenzimidazolyl)alkyl carboxylate thromboxane antagonist)
RN 7288-56-4 CAPLUS
CN Benzenesulfonamide, N-[(4-chlorophenyl)methyl]-N-(4-methoxy-2-nitrophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1991:559494 CAPLUS
DOCUMENT NUMBER: 115:159494
TITLE: A short efficient route to acronycine and other acridones
AUTHOR(S): Horne, Stephen; Rodrigo, Russell
CORPORATE SOURCE: Guelph-Waterloo Cent. Grad. Work Chem., Univ. Waterloo, Waterloo, ON, N2L 3G1, Can.
SOURCE: Journal of the Chemical Society, Chemical Communications (1991), (15), 1046-8
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:159494
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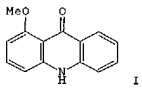
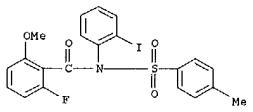


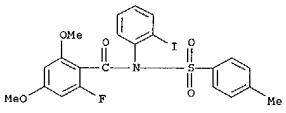
I

AB A Fries type of rearrangement of N-tosyl-o-iodobenzanilides, triggered by lithium-iodine exchange at low temp. is the key step in a general, regiospecific synthesis of acridones, e.g. I.
IT **136138-34-6P 136138-35-7P 136138-36-8P 136138-37-9P 136156-86-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and Fries rearrangement of)
RN 136138-34-6 CAPLUS
CN Benzamide, 2-fluoro-N-(2-iodophenyl)-6-methoxy-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

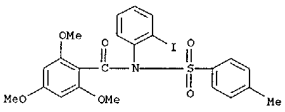


RN 136138-35-7 CAPLUS
CN Benzamide, 2-fluoro-N-(2-iodophenyl)-4,6-dimethoxy-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

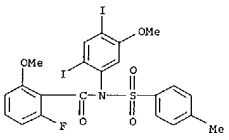
L10 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



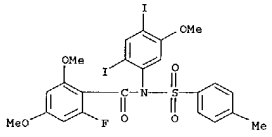
RN 136138-36-8 CAPLUS
CN Benzamide, N-(2-iodophenyl)-2,4,6-trimethoxy-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 136138-37-9 CAPLUS
CN Benzamide, N-(2,4-diiodo-5-methoxyphenyl)-2-fluoro-6-methoxy-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 136156-86-0 CAPLUS
CN Benzamide, N-(2,4-diiodo-5-methoxyphenyl)-2-fluoro-4,6-dimethoxy-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

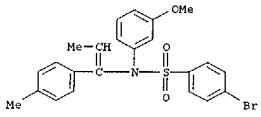


L10 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L10 ANSWER 26 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1989:212388 CAPLUS
DOCUMENT NUMBER: 110:212388
TITLE: Preparation of herbicidal and fungicidal arylsulfonamide derivatives
INVENTOR(S): Kato, Shozo; Igami, Satoyoshi; Ogasawara, Masaru; Takematsu, Tetsuo
PATENT ASSIGNEE(S): Tokuyama Soda Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63239264	A2	19881005	JP 1987-71834	19870327

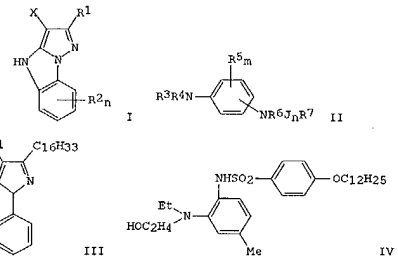
PRIORITY APPLN. INFO.: JP 1987-71834 19870327
OTHER SOURCE(S): MARPAT 110:212388
AB R1R2C:CRNR3SO2R4 [I; R = (substituted) aryl, heteroaryl, alkyl; R1,R2 = H, alkyl; R3 = (substituted) aryl, alkyl; R4 = (substituted)aryl, heteroaryl, (nonhalo-substituted)alkyl], useful as herbicides and fungicides, were prepd. To a mixt. of Me2CHCPh:NCH2CH2OMe and a hydrogen halide acceptor in CHCl3 was added dropwise PhSO2Cl in CHCl3 and the resulting mixt. was stirred overnight to give 41.3% benzenesulfonamide deriv. I (R = R4 = Ph, R1 = R2 = Me, R3 = MeOCH2CH2) (II). II at 200 g/10-are showed 90-100% control of Monochoria vaginalis and >50% control of 4 other weeds in 3 wk. Also, a filter paper (10 cm diam.) soaked with 15% II in MeOH and placed on a Trichophton rubrum culture, showed inhibition of its activity to 15 cm diam. around the filter sheet in 24-48 h at 30.degree.. II was tested against 5 other fungi. A herbicidal-fungicidal granule was formulated by mixing II 2, dioctyl succinate 1, Na ligninesulfonate 3, bentonite 30, and talc 64 wt. part., kneading with water, and granulating.
IT **120080-58-4P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as herbicide and fungicide)
RN 120080-58-4 CAPLUS
CN Benzenesulfonamide, 4-bromo-N-(3-methoxyphenyl)-N-[1-(4-methylphenyl)-1-propenyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1989:125210 CAPLUS
DOCUMENT NUMBER: 110:125210
TITLE: Silver halide photographic materials containing pyrazolobenzimidazole magenta coupler and stabilizer for improved dye image stability
INVENTOR(S): Kaneko, Yutaka
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

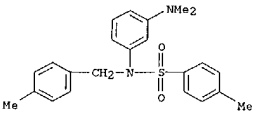
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62291656	A2	19871218	JP 1986-135206	19860610

PRIORITY APPLN. INFO.: JP 1986-135206 19860610
GI



AB The title materials contain .gtoreq.1 pyrazolo[1,5-a]benzimidazole magenta couplers I, and dye image stabilizer II (R1 = alkyl, alkenyl, cycloalkyl, aryl, heterocyclyl, acylamino, anilino, ureido; R2 = halo, alkyl, alkenyl, cycloalkyl, aryl, OH, carboxy, CN, NO2, alkoxy, aryloxy, acyl, acylamino, acyloxy, ureido, alkoxycarbonyl, aryloxycarbonyl, carbamoyl, sulfonamido, sulfamoyl; n = 0-4; X = H or releasing group; R3-R4, R6 = H, alkyl, cycloalkyl, alkenyl, aryl, heterocyclyl; R7 = alkyl, cycloalkyl, alkenyl, aryl; R5 = substituting group(s); m = 1-4; J = COO, CS, CO, CONR8, CSNR8, CR8R9, SO, C(:O)S, P(:O)(OR8)O; R8-R9 = H, alkyl, aryl; n = 0-1; R3-R4 may jointly form 5-6-membered ring; 1 of Rn5 may form N-contg. ring with R3 or R4). This combination increases the stability of the magenta dye image, and decreases staining. Thus, 1 L green-sensitive Ag(Cl,Br) emulsion was mixed with the dispersed magneta coupler III 25 g and 10 g dye stabilizer

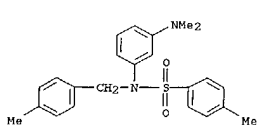
L10 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
IV 10 g. A photog. paper with a layer of the above emulsion was sensitometrically exposed and normally processed to show much higher resistance of the dye image against fading and discoloration.
IT **116364-88-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and use of, as photog. dye image stabilizer)
RN 116364-88-6 CAPLUS
CN Benzenesulfonamide, N-[3-(dimethylamino)phenyl]-4-methyl-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



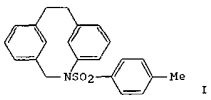
L10 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1988:519511 CAPLUS
DOCUMENT NUMBER: 109:119511
TITLE: Silver halide color photographic materials with improved dye image stability
INVENTOR(S): Kaneko, Yutaka; Kadokura, Kenji
PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 42 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62253168	A2	19871104	JP 1986-97611	19860425

PRIORITY APPLN. INFO.: JP 1986-97611 19860425
GI For diagram(s), see printed CA Issue.
AB The title color photog. materials contain .gtoreq.1 pyrazoloazole-type magenta coupler I (Z = heterocyclic ring; X = H, substituent released during coupling reaction; R = H, substituent), .gtoreq.1 compd. of the formula II (R = aliph. moiety, cycloalkyl, aryl, heterocyclyl; Y = pyrrolidene, piperidine, homopiperidine ring), and .gtoreq.1 compd. of the formula III (R2, R3, R5 = H, alkyl, cycloalkyl, alkenyl, aryl, heterocyclyl; R4 = substituent; R6 = alkyl, cycloalkyl, alkenyl, aryl; Z = CO2, CS, CO, CONR7, CSNR7, CR7R8, SO2, COS, P(O)(OR7)O; R7, R8 = H, alkyl, aryl; m = 0-4; n = 0, 1; R2R3 combination may form a heterocycle; when m.gtoreq.1 R4 may combine with R2 to form a condensed heterocycle). The color photog. materials give magenta dye images with excellent lightfastness and heat resistance and very few stains.
IT **116364-88-6P**
RL: PREP (Preparation)
(prepn. of, as photog. dye image stabilizer)
RN 116364-88-6 CAPLUS
CN Benzenesulfonamide, N-[3-(dimethylamino)phenyl]-4-methyl-N-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1988:221203 CAPLUS
DOCUMENT NUMBER: 108:221203
TITLE: N-Tosylaza[2.2]metacyclophane. Preparation, structure, helicity, chiroptical properties, and racemization
AUTHOR(S): Voegtle, Fritz; Przybilla, Klaus Juergen; Mannschreck, Albrecht; Pustet, Nicola; Buellesbach, Petra; Reuter, Hans; Puff, Heinrich
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-5300/1, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1988), 121(5), 823-8
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 108:221203
GI

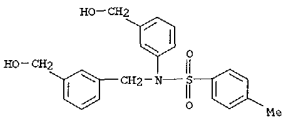


I

AB The title compd. (I) was prepd. and its properties were examd. I exists in a strained anti conformation, and its inner protons absorb at 4.13 and 4.34 ppm. The enantiomers of I were enriched by low-pressure chromatog. on triacetylcellulose, and a racemization barrier (.DELTA.G.thermod.) of 136 kJ/mol was detd. The sp. rotation, CD spectrum, and x-ray structure of I were described.

IT **112000-45-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and bromination of)

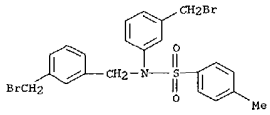
RN 112000-45-0 CAPLUS
CN Benzenesulfonamide, N-[3-(hydroxymethyl)phenyl]-N-[[3-(hydroxymethyl)phenyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)



IT **112000-46-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

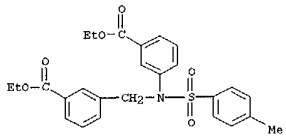
L10 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(Reactant or reagent)
(prepn. and cyclization of)

RN 112000-46-1 CAPLUS
CN Benzenesulfonamide, N-[3-(bromomethyl)phenyl]-N-[[3-(bromomethyl)phenyl]methyl]-4-methyl- (9CI) (CA INDEX NAME)



IT **112000-44-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)

RN 112000-44-9 CAPLUS
CN Benzoic acid, 3-[[[3-(ethoxycarbonyl)phenyl]methyl][(4-methylphenyl)sulfonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



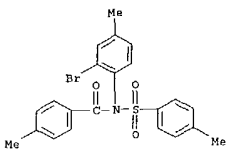
L10 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1984:5549 CAPLUS
DOCUMENT NUMBER: 100:5549
TITLE: Carbanionically induced [1,3]-migrations of .pi.- and coordinatively unsaturated groups
AUTHOR(S): Hellwinkel, Dieter; Laemmerzahl, Frank; Hofmann, Gunter
CORPORATE SOURCE: Org.-Chem. Inst., Univ. Heidelberg, Heidelberg, D-6900/1, Fed. Rep. Ger.
SOURCE: Chemische Berichte (1983), 116(10), 3375-405
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 100:5549
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB I (R = Ph, CMe3; X = O, NMe) reacted under mild conditions to give intensely colored Li derivs. of o-acylphenols and o-acylanilines, which were then hydrolyzed to II. Analogous reactions occurred with III, IV, and V. In the case of Me3CCON(C6H4Me-p)2, such a [1,3] rearrangement could be induced by direct metalation of the educt, but with Me3CCONMePh exclusive metalation of the N-Me group occurred, followed by [1,2] migration of the pivaloyl group. Similar rearrangement of VI, followed by alkylation of the product, gave VII (R = Me, Bu). Only the Bz group underwent a [1,3] shift in VIII. The migration tendencies of the Me3Si and Bz groups in IX were the same.

IT **87995-69-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(lithiation and rearrangement of)

RN 87995-69-5 CAPLUS
CN Benzamide, N-(2-bromo-4-methylphenyl)-4-methyl-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

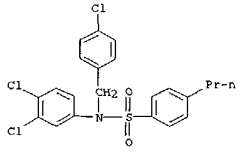


L10 ANSWER 31 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1983:591473 CAPLUS
DOCUMENT NUMBER: 99:191473
TITLE: Antibacterially active substituted anilides of carboxylic and sulfonic acids
AUTHOR(S): Linfield, Warner M.; Micich, Thomas J.; Montville, Thomas J.; Simon, John R.; Murray, Ermellina B.; Bistline, Raymond G., Jr.
CORPORATE SOURCE: East. Reg. Res. Cent., Philadelphia, PA, 19118, USA
SOURCE: Journal of Medicinal Chemistry (1983), 26(12), 1741-6
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

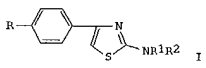
AB Anilides of carboxylic and sulfonic acids were prepd. and tested for antimicrobial activity. Although these anilides were ineffective against gram-neg. organisms, there was a good correlation between chem. structure and biol. activity against gram-pos. species. Both the nature and position of the benzene ring substituents and the length of the C side chain affected the activity and specificity of the compds. The highest activity was obsd. when the acyl or sulfuryl moiety had a C7-C9 side chain attached. The -CONH- and SO2NH- bridging groups were equally effective. The attachment of COOH or COOCH3 groups in the .omega.-position did not affect activity, but the substitution of the acidic proton of the sulfonamide group by an alkyl group rendered the compd. inactive. Six compds., which were substituted anilides of sulfonic acids, fatty acids, or the analagous .alpha.-methylene-substituted acids, were bacteriostatic at 10 ppm against Bacillus cereus, Staphylococcus aureus, Streptococcus faecalis, and Lactobacillus plantarum. One of these compds., the 2-hydroxy-5-nitroanilide of .alpha.-methylenedecanoic acid, was bactericidal at 1 ppm.

IT **86887-18-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antibacterial activity of)

RN 86887-18-5 CAPLUS
CN Benzenesulfonamide, N-[(4-chlorophenyl)methyl]-N-(3,4-dichlorophenyl)-4-propyl- (9CI) (CA INDEX NAME)



L10 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:587138 CAPLUS
DOCUMENT NUMBER: 95:187138
TITLE: 2-Amino-4-phenylthiazole derivatives as anti-atherogenic agents
AUTHOR(S): Kawamatsu, Yutaka; Sohda, Takashi; Imai, Yoshio
CORPORATE SOURCE: Chem. Res. Lab., Takeda Chem. Ind. Ltd., Jusohonmachi, Osaka, 532, Japan
SOURCE: European Journal of Medicinal Chemistry (1981), 16(4), 355-62
CODEN: EJMCA5; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

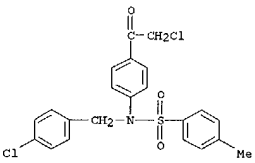


I

AB Thiazoles I [R = (un)substituted benzyloxy, Ph, PhO, 4-ClC6H4O, PhCH2, PhCH2CH2O, 4-ClC6H4CO2, 4-ClC6H4CONHCH2CH2, 4-ClC6H4CH2NH, 4-ClC6H4CH2S, 3-pyridylmethoxy, 2-thienylmethoxy, cyclohexylmethoxy, 1-methyl-1-cyclohexylmethoxy, Me3CCH2O, Me(CH2)14CH2O; R1 = H, Me; R2 = H, CHO, acyl, Me, MeSO2, 4-MeC6H4SO2, allyl, cyclohexyl, Ph; R1R2 = (CH2)5] were prepd. E.g. refluxing 4-ClC6H4CH2OC6H4COCH2Cl-4 with thiourea and NaOAc in H2O/EtOH gave 77.5% I (R = 4-ClC6H4CH2O, R1 = R2 = H). I.HCl (R = 4-FC6H4CH2O, R1 = R2 = H) showed pronounced antiatherogenic activity in rats.

IT **79615-86-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclocondensation of, with thioureas, thiazoles by)

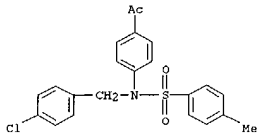
RN 79615-86-4 CAPLUS
CN Benzenesulfonamide, N-[4-(chloroacetyl)phenyl]-N-[(4-chlorophenyl)methyl]-4-methyl- (9CI) (CA INDEX NAME)



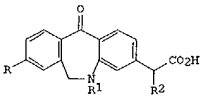
IT **79615-73-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L10 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(Reactant or reagent)
(prepn. and halogenation of)

RN 79615-73-9 CAPLUS
CN Benzenesulfonamide, N-(4-acetylphenyl)-N-[(4-chlorophenyl)methyl]-4-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 33 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:490794 CAPLUS
DOCUMENT NUMBER: 95:90794
TITLE: Antiinflammatory 5,6-dihydro-11-oxodibenz[b,e]azepine-3-acetic acids
AUTHOR(S): Dunn, James P.; Muchowski, Joseph M.; Nelson, Peter H.
CORPORATE SOURCE: Inst. Org. Chem., Syntex Res., Palo Alto, CA, 94304, USA
SOURCE: Journal of Medicinal Chemistry (1981), 24(9), 1097-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

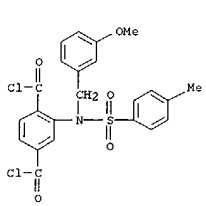


I

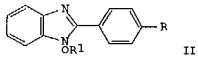
AB Seven title compds. I (R = H or OMe; R1 = H, Me, Ac, or Et; R2 = H or Me) were synthesized and tested for antiinflammatory activity in rats. I were up to 30 times more potent than phenylbutazone. The compds., however, were almost devoid of analgesic activity in the mouse writhing assay. I(R = R1 = R2 = H) [78382-91-9] was the most active inflammation inhibitor. Structure-activity relations are discussed.

IT **78382-92-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)

RN 78382-92-0 CAPLUS
CN 1,4-Benzenedicarbonyl dichloride, 2-[[(3-methoxyphenyl)methyl][(4-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



L10 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:135207 CAPLUS
DOCUMENT NUMBER: 84:135207
TITLE: o-Nitroaniline derivatives. Part V. Cyclisation of N-acylated derivatives of N-benzyl- and N-p-nitrobenzyl-o-nitroaniline: a comparison of carboxamides and sulphonamides
AUTHOR(S): Machin, John; Mackie, Raymond K.; McNab, Hamish; Reed, Gerald A.; Sagar, Anthony J. G.; Smith, David M.
CORPORATE SOURCE: Dep. Chem., Univ. St. Andrews, St. Andrews, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1976), (4), 394-9
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

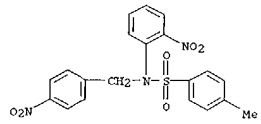


II

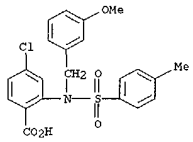
AB Treatment of p-RC6H4CH2NR1C6H4NO2-o (I; R = H, R1 = COMe, COPh; R = NO2, R1 = COMe, COPh, MeSO2, p-MeC6H4SO2, CO2Et) with NaOMe in MeOH gave the hydroxybenzimidazoles II (R = H, NO2, R1 = H, resp.), whereas I (R = H, R1 = MeSO2, p-MeC6H4SO2 were unreactive to NaOMe. Cyclization of I (R = H) involved deacylation as 1st step whereas kinetic evidence indicated that deacylation followed cyclization in reaction of I (R = NO2). II (R = NO2, R1 = CH2C6H4NO2-p) was obtained as a by-product in the prepn. of I (R = NO2, R1 = CO2Et) from Et N-o-nitrophenylcarbamate and p-O2NC6H4CH2Br. The magnetic nonequivalence of the benzylic protons in I is discussed.

IT **49854-41-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, mechanism of)

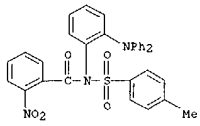
RN 49854-41-3 CAPLUS
CN Benzenesulfonamide, 4-methyl-N-(2-nitrophenyl)-N-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1975:4107 CAPLUS
DOCUMENT NUMBER: 82:4107
TITLE: Azabenzocycloheptenones. XVII. Substitution reaction in tetrahydro-1-benzazepin-5-ones
AUTHOR(S): Lennon, Mary; McLean, Angus; McWatt, Ian; Proctor, George R.
CORPORATE SOURCE: Dep. Pure Appl. Chem., Univ. Strathclyde, Glasgow, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1974), (15), 1828-33
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 1,2,3,4-Tetrahydro-1-tosyl-1-benzazepin-5-ones were detosylated by Na in NH3 and H2SO4-AcOH. N-Alkylation of 1,2,3,4-tetrahtdro-1-azepin-5-one and 2,3-dihydro-1H-1-benzazepine, and substitution of the 4- and 5-positions of 1,2,3,4-tetrahydro-1-tosyl-1-benzazepin-5-one were examined. Dehydrogenation of 5,6-dihydrodibenz[b,e]azepin-11-ones gave dibenz[b,c]azepin-11-ones.
IT **54620-94-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 54620-94-9 CAPLUS
CN Benzoic acid, 4-chloro-2-[[(3-methoxyphenyl)methyl][(4-methylphenyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

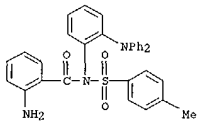


L10 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:466327 CAPLUS
DOCUMENT NUMBER: 79:66327
TITLE: Synthesis of 4,4-diphenyl-7-oxodibenzodihydro-1,4-diazepinium salts
AUTHOR(S): Nesmeyanov, A. N.; Tolstaya, T. P.; Grib, A. V.; Casanova, Jose A.
CORPORATE SOURCE: Inst. Elementoorg. Soedin., Moscow, USSR
SOURCE: Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1973), (5), 1096-101
CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Ph2NC6H4NH2-o (I) reacted with o-O2NC6H4COCl (II) in Et3N/dioxane to give 100% of the N-aroyl deriv. (III) which was reduced with FeSO4 in neutral aq. NH4OH to give the o-aminobenzoyl analog (IV), while redn. in ammoniacal FeSO4 gave a solid lacking a free NH2 group. IV and HCl in Me2CO was treated with NaNO2 to yield 100% 6-oxo-1-[o-(diphenylamino)phenyl]benzo[4.5]-1,2,3-triazine. I and II in Et3N gave o-Ph2NC6H4N(COC6H4NO2-o)2. III and AcCl gave the N-Ac deriv., which was reduced over Raney Ni to the o-aminobenzoyl analog, and then diazotized and heated to give V. I and tosyl chloride gave the N-tosyl deriv., which gave the N-aryl deriv. with II, and was reduced by SnCl2 to the o-aminobenzoyl analog, then diazotized and heated to give V. Treatment of V with 85% H3PO4 in aq. PrOH gave, after prolonged heating and reaction with HI, 4,4-diphenyl-7-oxodibenzodihydro-1,4-diazepinium iodide, whose structure was confirmed by reactions with Ag2O, aq. NaOH and nitrosylsulfuric acid.
IT **42343-89-5P 42343-90-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 42343-89-5 CAPLUS
CN Benzamide, N-[2-(diphenylamino)phenyl]-N-[(4-methylphenyl)sulfonyl]-2-nitro- (9CI) (CA INDEX NAME)

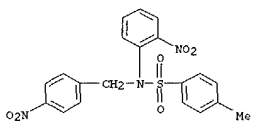


RN 42343-90-8 CAPLUS
CN Benzamide, 2-amino-N-[2-(diphenylamino)phenyl]-N-[(4-methylphenyl)sulfonyl]- (9CI) (CA INDEX NAME)

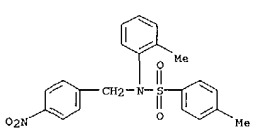
L10 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



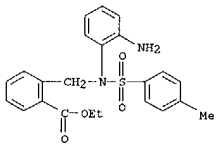
L10 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:466251 CAPLUS
DOCUMENT NUMBER: 79:66251
TITLE: o-Nitroaniline derivatives. III. Cyclization of N-(p-nitrobenzyl)-N-(p-tolylsulfonyl)-o-nitroaniline by sodium methoxide. Formation of an N-methoxybenzimidazole derivative
AUTHOR(S): McNab, Hamish; Smith, David M.
CORPORATE SOURCE: Dep. Chem., Univ. St. Andrews, St. Andrews, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1973), (12), 1310-14
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The title sulfonamide reacted with NaOMe to give a mixt. of 1-hydroxy- and 1-methoxy-2-(p-nitrophenyl)benzimidazole. The methoxy compd. was formed by methylation of the hydroxy compd. by p-MeC6H4SO3Me, formed in situ.
IT **49854-41-3P 49854-42-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 49854-41-3 CAPLUS
CN Benzenesulfonamide, 4-methyl-N-(2-nitrophenyl)-N-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 49854-42-4 CAPLUS
CN Benzenesulfonamide, 4-methyl-N-(2-methylphenyl)-N-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

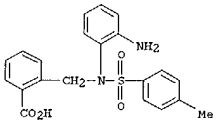


L10 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1972:488462 CAPLUS
DOCUMENT NUMBER: 77:88462
TITLE: Derivatives of 5,6,11,12-tetrahydrodibenzo[b,f][1,4]diazocine
AUTHOR(S): Saunders, A.; Sprake, J. M.
CORPORATE SOURCE: Sch. Pharm., Sunderland Polytech., Sunderland, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1972), (15), 1964-71
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Catalytic redn. of o-EtO2CC6H4CH2N(SO2C6H4Me-p)C6H4NO2-o, prepd. by reaction of .omicron.-ClCH2C6H4CO2Et with the Na salt of p-MeC6H4SO2NHC6H4-NO2-o, gave o-EtO2CC6H4CH2N(SO2C6H4Me-p)C6H4NH2-o which underwent cyclization to 5,6,11,12-tetrahydro-12-(p-tolylsulfonyl)dibenzo[b,f][1,4]diazocin-6-one (I) in the presence of NaH in dioxane. The Na deriv. of I reacted with alkyl halides to give 5-alkyl derivs. Attempted removal of the p-tolylsulfonyl group from the dibenzodiazocines by treatment with sulfuric acid monohydrate led to ring cleavage to phthalimidines, e.g. I gave 2-(2-aminophenyl)phthalimidine. The 5-Me deriv. of I also gave a phthalimidine on treatment with PhLi. Redn. of I, and its alkyl derivs., with LiAlH4 in THF gave 5,6,11,12-tetrahydro-12-(p-tolylsulfonyl)dibenzo[b,f][1,4]diazocines (II). The 5-Me deriv. of II reacted with 90% H2SO4 to give 5,6,11,12-tetrahydro-5-methyldibenzo[b,f][1,4]diazocine (III); the compd. previously thought to be III (Sprake, J. M.; Harper, N. J., 1969) was shown to be bis(12-methyldiazocin-5-yl)methane.
IT **38163-80-3P 38163-82-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclization of)
RN 38163-80-3 CAPLUS
CN Benzoic acid, 2-[[(2-aminophenyl)[(4-methylphenyl)sulfonyl]amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 38163-82-5 CAPLUS
CN Benzoic acid, 2-[[(2-aminophenyl)[(4-methylphenyl)sulfonyl]amino]methyl]- (9CI) (CA INDEX NAME)

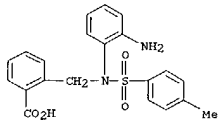
L10 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



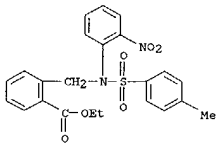
CM 2

CRN 64-17-5
CMF C2 H6 O

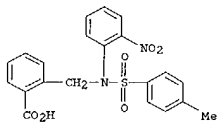
L10 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



IT **38163-79-0P 38163-81-4P 38163-86-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 38163-79-0 CAPLUS
CN Benzoic acid, 2-[[[(4-methylphenyl)sulfonyl](2-nitrophenyl)amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 38163-81-4 CAPLUS
CN Benzoic acid, 2-[[[(4-methylphenyl)sulfonyl](2-nitrophenyl)amino]methyl]- (9CI) (CA INDEX NAME)

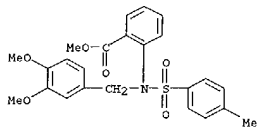


RN 38163-86-9 CAPLUS
CN Benzoic acid, 2-[[(2-aminophenyl)[(4-methylphenyl)sulfonyl]amino]methyl]-, compd. with ethanol (1:1) (9CI) (CA INDEX NAME)

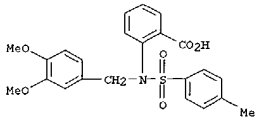
CM 1

CRN 38163-82-5
CMF C21 H20 N2 O4 S

L10 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1969:461183 CAPLUS
DOCUMENT NUMBER: 71:61183
TITLE: Azabenzocycloheptenones. IX. New synthesis and some reactions of the 5,6-dihydrodibenz[b,e]azepin-11-one system
AUTHOR(S): MacDonald, Ian; Proctor, George R.
CORPORATE SOURCE: Univ. Strathclyde, Glasgow, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1969), (10), 1321-5
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Cyclization of N-(m-methoxybenzyl)-N-tolylsulfonyl-anthraniloyl chloride with AlCl3 at -20.degree. yielded 70% 5,6-dihydro-8-methoxy-5-tosyldibenz[b,e]azepin-11-one (I) (R = p-Me-C6H4SO2), which could be detosylated with polyphosphoric acid. Some reactions of the dihydrodibenzazepinone system are described.
IT **23145-61-1P 23145-62-2P 23145-63-3P**
23145-64-4P 23145-66-6P 23145-76-8P
23145-77-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 23145-61-1 CAPLUS
CN Anthranilic acid, N-(p-tolylsulfonyl)-N-veratryl-, methyl ester (8CI) (CA INDEX NAME)

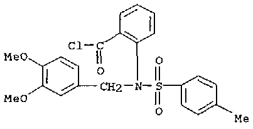


RN 23145-62-2 CAPLUS
CN Anthranilic acid, N-(p-tolylsulfonyl)-N-veratryl- (8CI) (CA INDEX NAME)

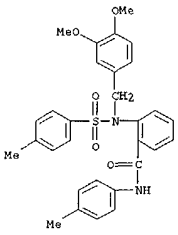


RN 23145-63-3 CAPLUS
CN Anthraniloyl chloride, N-(p-tolylsulfonyl)-N-veratryl- (8CI) (CA INDEX NAME)

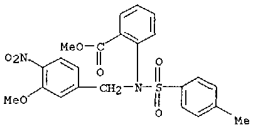
L10 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 23145-64-4 CAPLUS
CN p-Benzotoluidide, 2-(N-veratryl-p-toluenesulfonamido)- (8CI) (CA INDEX NAME)

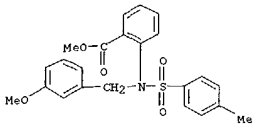


RN 23145-66-6 CAPLUS
CN Anthranilic acid, N-(3-methoxy-4-nitrobenzyl)-N-(p-tolylsulfonyl)-, methyl ester (8CI) (CA INDEX NAME)

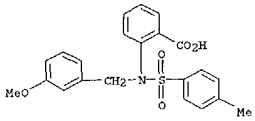


RN 23145-76-8 CAPLUS
CN Anthranilic acid, N-(m-methoxybenzyl)-N-(p-tolylsulfonyl)-, methyl ester (8CI) (CA INDEX NAME)

L10 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 23145-77-9 CAPLUS
CN Anthranilic acid, N-(m-methoxybenzyl)-N-(p-tolylsulfonyl)- (8CI) (CA INDEX NAME)



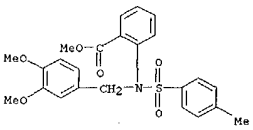
L10 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1969:421528 CAPLUS
DOCUMENT NUMBER: 71:21528
TITLE: High resolution mass spectra of toluene-p-sulfonamides
AUTHOR(S): Aftalion, S.; Proctor, G. R.
CORPORATE SOURCE: Univ. Strathclyde, Glasgow, UK
SOURCE: Organic Mass Spectrometry (1969), 2(4), 337-45
CODEN: ORMSBG; ISSN: 0030-493X
DOCUMENT TYPE: Journal
LANGUAGE: English

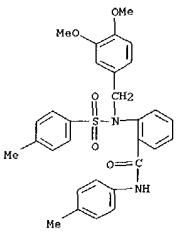
AB The cracking patterns of 25 p-toluenesulfonamides have been studied. In certain cases an abundant [M - SO2] ion is detected: the structural features assocd. with this phenomenon are discussed.

IT **23145-61-1 23145-64-4**
RL: PRP (Properties)
(mass spectrum of)

RN 23145-61-1 CAPLUS
CN Anthranilic acid, N-(p-tolylsulfonyl)-N-veratryl-, methyl ester (8CI) (CA INDEX NAME)



RN 23145-64-4 CAPLUS
CN p-Benzotoluidide, 2-(N-veratryl-p-toluenesulfonamido)- (8CI) (CA INDEX NAME)



L10 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1966:438549 CAPLUS
DOCUMENT NUMBER: 65:38549
ORIGINAL REFERENCE NO.: 65:7183g-h,7184a-h,7185a-h,7186a-f
TITLE: Benzimidazoles
PATENT ASSIGNEE(S): Schering A.-G.
SOURCE: 45 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BE 667333		19660124	BE	19640723
FR 1440565			FR	
NL 6509573			NL	

GI For diagram(s), see printed CA Issue.

AB Title compds. of the formula I possess antiallergenic and antiinflammatory properties. Thus, 1-(4-chlorobenzyl)-2-chloromethylbenzimidazole-HCl (II.HCl) is treated with NaHCO3 in H2O to yield the base II, m. 99-103.degree. (dil. MeOH). To 54.8 g. IV in 280 ml. C6H6 is added 40.25 g. EtNHCH2CH2OH (III) in 50 ml. C6H6, the mixt. kept 2 days under anhyd. conditions, washed to neutrality with H2O, and dried over K3CO3 and the solvent evapd. at <30.degree. to obtain 50 g. 1-(p-chlorobenzyl)-2-[N-ethyl-N-(2-hydroxyethyl)amino]methylbenzimidazole (IV), m. 101-3.degree.; IV.HCl m. 154-6.degree. (iso-PrOH). To 45 g. II in 240 ml. C6H6 is added dropwise a soln. of 37.2 g. N-methylpiperazine (V) in 40 ml. C6H6. After the work-up for IV, the crude base is crystd. from petr. ether to give 40 g. 1-(p-chlorobenzyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, m. 99-100.5.degree.; HCl salt m. 225-6.degree.. To a soln. of 40 g. II in 300 ml. C6H6 is added 43 g. N-(2-hydroxyethyl)piperazine (VI) in 50 ml. C6H6 with the temp. kept at 25.degree. by cooling the mixt. in an ice water bath when necessary. The mixt. is kept overnight, refluxed 2 hrs., cooled, washed to neutrality with H2O, and extd. with N HCl. The acid ext. is washed with Et2O, decolorized with C, and made alk. with Na2CO3. The mixt. is extd. with CH2Cl2 and dried over Na2SO4, the solvent removed <40.degree., and the residue treated with C in C6H6 to give 40 g. 1-(p-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 144-5.degree.. II (15 g.) and 7 g. allylamine under similar conditions give 1-(p-chlorobenzyl)-2-allylaminomethylbenzimidazole which with N HCl gives the salt, m. 198-9.degree.. Similarly, II and N-(.beta.-aminopropyl)morpholine give 1-(p-chlorobenzyl)-2-morpholinopropylaminomethylbenzimidazole-HCl, m. 180-2.degree. (base is an oil); II and .beta.-amino-.beta.'- hydroxydiethyl ether give an oily base which is converted to the HCl salt, m. 199-201.degree.. A mixt. of 25 g. 2,5-dichloro-1-nitrobenzene and 36.9 g. 4-chlorobenzylamine is heated to 135.degree. in an oil bath (the reaction becomes exothermic and the temp. is not allowed to exceed 145.degree.) to yield after 2 hrs. 23 g. 1-(4-chlorobenzylamino)-2-nitro-4-chlorobenzene (VII), m. 121-2.degree. is obtained. Redn. of 2 g. VII in 250 ml. dioxane with H in the presence of 2 g. Raney Ni at ambient temp. and 115 atm. yields 19 g. 1-(4-chlorobenzylamino)-2-amino-4-chlorobenzene (VIII), m. 138-41.degree.. To 10 g. VIII in 90 ml. abs. CHCl3 is added 6.1 g. chloroacetimino ether-HCl, (IX.HCl) in 35 ml. CHCl3, the mixt. stirred 30 min. at room temp. and 2 hrs. at 40.degree. and neutralized with NaHCO3, the CHCl3 soln. washed with H2O and dried over Na2SO4, and the solvent removed to yield 10 g. 1-(p-chlorobenzyl)-2-chloromethyl-5-chlorobenzimidazole (X), m. 134-6.degree.. The reaction of X with VI yields 10 g. 1-(p-chlorobenzyl)-2-(1-(2-hydroxyethyl)-4-piperazinyl]-methyl-5-chlorobenzimidazole, m. 137-8.degree.. A soln. of 75.8 g.

L10 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
4-chorobenzylcyanamide is treated in 700 ml. MeOH satd. with NH3 and H in the presence of 3 g. Raney Ni at 70.degree. and initial temp. of 110.degree. to give 4-chlorophenylethylamine, (XI), b14 124-9.degree.. A mixt. of 49 g. XI, 49.5 g. o-ClC6H4NO2, and 47.1 g. powd. K2CO3 is heated to 150-60.degree. in an oil bath 3 hrs., cooled, and dissolved in a mixt. of CHCl3 and H2O, the CHCl3 soln. washed with dil. HCl, H2O, dried, and the solvent removed. The residue is crystd. from MeOH to yield 69 g. 1-p-chlorophenylethyl-2-nitrobenzene (XII). Hydrogenation of XII in MeOH over Raney Ni yields 63 g. 1-(4-chlorophenylethyl)-2-aminobenzene (XIII) as a viscous oil. Condensation of XIII with IX yields 70 g. 1-(4-chlorophenylethyl)-2-chloromethylbenzimidazole (XIV), m. 104-7.degree., which is allowed to react with VI to yield 1-[2-(4-chlorophenyl)ethyl]-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 123-4.degree.. To 23.3 g. II in 160 ml. dioxane is added 19.4 g. HN(CH2CH2OH)2 and the mixt. kept overnight and worked up to yield 12.5 g. product, m. 111-13.degree., which is treated with an equiv. amt. N H2SO4 to yield 10 g. 1-(4-chlorobenzyl)-2-[bis(2-hydroxyethyl)amino]methylbenzimidazole-H2SO4, m. 150-2.degree. (MeOH). To a cold mixt. of 12.8 g. formylpiperazine, 6.4 g. Na2CO3 and 150 ml. 95% EtOH is added 33.1 g. II, the mixt. refluxed 2 hrs., cooled, and filtered, the solvent removed, and the oily residue treated with 32 ml. concd. HCl and 150 ml. H2O on a steam bath 10 hrs. The major portion of the HCl is removed in vacuo, H2O added with stirring to the residue, and the base pptd. with dil. NaOH soln. The product is taken into CH2Cl2, washed with K2CO3, and the solvent removed to yield 20 g. 1-(4-chlorobenzyl)-2-piperazinylmethylbenzimidazole, m. 140-2.degree. (EtOAc). A soln. of 20.8 g. 4-fluorobenzaldehyde (XV) in 45 ml. MeOH is added to 17.7 g. phenylenediamine (XVI) in 45 ml. MeOH kept cold in an ice bath. The ppt. is isolated and combined with a second portion obtained on concn. of the mother liquor to yield 30 g. N-(4-fluorobenzal)-o-phenylenediamine (XVII), m. 73-4.degree. (cyclohexane). Redn. of 37.5 g. XVII in 500 ml. dioxane with H in the presence of 4 g. Raney Ni at 130 atm. pressure and at 70-5.degree. followed by filtration and evapn. of solvent <35.degree. gives 37.5 g. N-(4-fluorobenzyl)-o-phenylenediamine (XVIII), m. 80-1.degree.. A soln. of 37.5 g. XVIII in 208 ml. anhyd. CHCl3 is added dropwise to 28.6 g. IX.HCl in 164 ml. anhyd. CHCl3, the mixt. kept at room temp. with cooling with H2O, stirred 30 min. and then kept at 40.degree. 2 hrs. The mixt. is worked up to yield 46 g. 1(4-fluorobenzyl)-2-chloromethylbenzimidazole (XIX), m. 80-4.degree.. A soln. of 17.3 g. VI in 50 ml. C6H6 is added to a chilled soln. of 15 g. XIX in 120 ml. C6H6 and after work up yields 13 g. 1-(4-fluorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 143-4.degree. (EtOAc). Similarly, XIX and III yields 1-(4-fluorobenzyl)-2-[N-ethyl-N-2-hydroxyethyl)amino]methylbenzimidazole, m. 116-17.degree. (C6H6); XIX and V give 1-(4-fluorobenzyl)-2-[1-methyl-4-piperazinyl)methylbenzimidazole, which is converted to its HCl salt, m. 233-4.degree. (MeOHEt2O). When II is allowed to react with the appropriate amine, the following XIXa are obtained (R and m.p. given): 1-methoxy-ethyl-4-piperazinyl, -- [HCl salt m. 127-9.degree. (cyclohexane-C6H6)]; NHCH2CH2OH, 124-6.degree. (iso-PrOH); N[CH2CH(OH)Me]2,[HCl salt m. 192-4.degree. (MeOH-Et2O); N(CH2CH2OH)CH2CH(OH)Me, -- [HCl salt m. 195-7.degree. (MeOH-Et2O); 4-benz-ylpiperazinyl, 147-8.degree. (Me2CO). Using methods described above the following aldehydes (m.p. of the intermediate benzal deriv., m.p. of the benzyl deriv., m.p. of the chloromethylbenzimidazole) yield the corresponding methylbenzimidazoles: prepd. from p-BrC6H4CHO, intermediate benzal m. 112-13.degree., intermediate benzyl deriv., m.

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69-72.degree., intermediate chloromethylbenzimidazole, m. 95-8.degree.: 1-(4-bromobenzyl-2-[1-methyl-4-piperazinyl], m. 95-7.degree. (petr. ether); 1-(4-bromobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 139-40.degree. (C6H6). Prepd. from m-ClC6H4CHO, 50-2.degree., 1.degree. --: 1-(3-chlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], 158-9.degree. (C6H6). Prepd. from O-ClC6H4CHO, 115-16.degree., --, --: 1-(2-chlorobenzyl-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 142-5.degree. (C6H6); 1-(2chlorobenzyl-2-[1-methyl-4-piperazinyl], m. 142-3.degree. (C6H12), 1(2-chlorobenzyl-2-[N-ethyl-N-(2-hydroxyethyl)amino, m. 97-8.degree. (from C6H6-C6H12). Prepd. from o-FC6H4CHO, 87-9.degree., --, 69-74.degree.: 1-(2-Fluorobenzyl)-2- [1-(2-hydroxyethyl)-4-piperazinyl], 148-9.degree. (C6H6). Prepd. from 2,4-Cl2C6H3CHO, 100-1.degree., --, 118-22.degree.: 1-(2,4-dichlorobenzyl-2-[1-(2-hydroxyethyl)-4-piperazinyl], 173-4.degree. (C6H6). Prepd. from 2,6-Cl2C6H3CHO, 107-9.degree., 79-86.degree., 138.degree.: 1-(2,6-dichlorobenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 105-6.degree. (-), 1-(2,6-dichlorobenzyl)-2-[1-methyl-4-piperazinyl], HCl salt m. 227-8.degree. (iso-PrOH). Prepd. from PhCHO, 57-61.degree. 79-80.degree., 111.degree.: 1-benzyl-2-(1-methyl-4-piperazinyl), m. 121-2.degree. (EtOAc), 1-benzyl-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 138-9.degree. (C6H6). Prepd. from p-MeOC2H4OC6H4CHO, 116-18.degree., 1,.degree. 72.degree.: 1-(4-methoxyethoxybenzyl)-2-[2-hydroxyethyl)-4-piperazinyl], m. 84-6.degree. (petr. ether-C6H6). Prepd. from o-HOC6H4CHO, 67-9.degree. (CHCl3), 155-7.degree., 172-5.degree.: 1-(2-hydroxy)-2-[1-(2-hydroxyethyl-4-piperazinyl], m. 217-18.degree. (EtOH). Prepd. from o-MeOC6H4CHO, 99-101.degree. 66-7.degree., 108-10.degree.: 1-(2-methoxybenzyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl], m. 90-1.degree. (EtOAc), 1-(2-methoxybenzyl)-2-[1-methyl-4-piperazinyl], m. 143-4.degree. (EtOAc). Prepd. from o-MeC6H4CHO, 102-3.degree., 74-8.degree., 78-9.degree.: 1-(2-methylbenzyl)-2- [1-methyl-4-piperazinyl], m. 128-30.degree. (C6H12). Prepd. from 4-pyridinecarboxaldehyde, 121-2.degree., -, 233-41.degree.: 1-(4-pyridylmethyl)-2-[1-methyl-4-piperazinyl], 167-9.degree. (dioxane). Prepd. from 2-pyridinecarboxaldehyde,-,-,-: 1-(2-pyridylmethyl)-2-[1(2-hydroxyethyl)-4-piperazinyl], m. 156-9.degree. (dioxane). A mixt. of 15 g. o-ClC6H4NO2, (XX), 6 g. 4-FC6H4NH2, and 15 g. NaOAc is heated 10 hrs. at 200-10.degree. and cooled, dil. HCl added, and the unused XX steam-distd. The mixt. is cooled to give 6 g. 4'-fluoro-2-nitrodiphenylamine (XXI), m. 82-3.degree. (aq. EtOH). Redn. of 25 g. XXI in 100 ml. dioxane with H in the presence of 1 g. Raney Ni at ambient temp. and 115 atm. yields 22 g. 4'fluoro-2-aminodiphenylamine, m. 64-5.degree., which is condensed with IX.HCl to give 26 g. 1-(4-fluorophenyl)-2-chloromethylbenzimidazole (XXII),m. 110-11.degree.. A mixt. of 9 g. XXII with VI yields 6 g. 1-(4-fluorophenyl)-2-[1-(2-hydroxyethyl)-4-piperazinyl]methylbenzimidazole, m. 115-16.degree. (EtOAc). XXII with V yields 1-(4-fluorophenyl)-2-[1-methyl-4-piperazinyl] methylbenzimidazole, m. 131-2.degree. (EtOAc). 1-(4-Chlorophenyl)-2-chloromethylbenzimidazole (XXIII), m. 119-21.degree., is prepd. by the method used to obtain XXII. The reaction of XXIII with VI yields 1-(4-chlorophenyl)-2- [1-(2- hydroxyethyl)-4-piperazinyl] methylbenzimidazole, m. 156-8.degree.; with V gives 1-(4-chlorophenyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, m. 167-9.degree. (EtOAc). Similarly, XIV with V gives 90% 1-[2-(4-chlorophenyl)ethyl]-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 122-3.degree. (C6H12). A mixt. of 11.9 g. .alpha.-(4-chlorophenyl)ethylamine, 11.3 g. o-ClC6H4NO2, and 9.8 g. K2CO2 is heated at 170-80.degree. 5 hrs., cooled, and mixed with Et2O and H2O. The Et2O phase is worked up to yield N-(2-nitrophenyl)-N-

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[.alpha.-(4-chlorophenyl)ethyl]amine, m. 56-7.degree. (iso-PrOH), and this compd. is reduced with H in the presence of Raney Ni to give N-(2-aminophenyl)-N-[.alpha.-(4-chlorophenyl)ethyl]amine (XXIV). The reaction of XXIV with IX.HCl yields 1- [.alpha.-[4-chlorophenyl)ethyl]-2-chloromethylbenzimidazole which is allowed to react with VI to give 1-[.alpha.-(4-chlorophenyl)ethyl] -2- [1-(2-hydroxyethyl)-4-piperazinyl] methylbenzimidazole, m. 149-50.degree.. A soln. of 10.8 g. XVI and 8.14 g. 4-nitrobenzyl chloride in 150 ml. EtOH is refluxed 2 hrs. and evapd. to dryness in vacuo and the residue triturated with H2O and dried to give 10.4 g. N-(4-nitrobenzyl)-o-phenylenediamine (XXV), m. 136-9.degree.. The redn. of XXV with H in the presence of Raney Ni yields a product which allowed to react with IX.HCl gives 1-(4-nitrobenzyl)-2-chloromethylbenzimidazole (XXVI) and then with V to give 60% 1-(4-nitrobenzyl)-2-[1-methyl-4-piperazinyl]methylbenzimidazole, m. 159-61.degree. (benzene). Similarly, 2-nitrobenzyl chloride is converted to 1-(2-nitrobenzyl)-2-chloromethylbenzimidazole, m. 158-60.degree., and reaction with V yields 62% 1-(2nitrobenzyl)-2-[1-methyl-4-piperazinyl] methylbenzimidazole, m. 182-3.degree. (MeOH). A mixt. of 23.3 g. N-(4-chlorobenzyl)-o-phenylenediamine, 16.3 g. .alpha.-chloropropionic acid, and 100 ml. 4N HCl is heated under N 3 hrs. and cooled and the ppt. isolated and treated with VI to give 10 g. 1-(4-chlorobenzyl)-2-[1-hydroxyethyl)-4-piperazinyl]ethylbenzimidazole-HCl, m. 193-5.degree.. Likewise, X and V give 83% 1-(4-chlorobenzyl)-2-(1-methyl-4-piperazinyl)methyl-5-chlorobenzimidazole, m. 160.1.degree. (C6H6 petr. ether). To a suspension of 16.1 g. p-toluenesulfon-2-nitro-4-methoxyanilide in 12.5 ml. 4N NaOH is added 6.45 g. p-ClC6H4CH2Cl, the mixt. stirred and refluxed 4 hrs., 9.66 g. addnl. p-ClC6H4CH2Cl added, the reflux continued 45 min., and 2.7 ml. 35% NaOH added. After a total reflux time of 8.5 hrs., the cool mixt. is extd. with Et2O and worked up to give 15 g. N-(4-chlorobenzyl)-N-(2-nitro-4-methoxyphenyl)-p-toluenesulfonamide (XXVII), m. 122-3.degree.. Hydrolysis of 30 g. XXVII in 440 ml. EtCO2H and 28.2 ml. concd. H2SO4 on H2O bath (93.degree.) 1.5 hrs. yields 12.5 g. red N-(4-chlorobenzyl)-N-(2-nitro-4-methoxyphenyl)amine, m. 129-30.degree. (MeOH), which is reduced with H and Raney Ni to give N-(4-chlorobenzyl)-N-(2-amino-4-methoxyphenyl)amine, (XXVIII), m. 92-3.degree.. A mixt. of 13 g. XXVIII and IX yields 13 g. 1-(4-chlorobenzyl)-2-chloromethyl-5-methoxybenzimidazole (XXIX), m. 122-3.degree.. An 80% yield of 1-(4-chlorobenzyl)-2-(1-(2-hydroxyethyl)-4-piperazinyl] methyl-4-methoxybenzimidazole-H2O, m. 106-10.degree. (C6H6), results from the reaction of XXIX with VI; XXIX with V yields 1-(4-chlorobenzyl)-2-(1-methyl-4-piperazinyl)methyl-5-methoxybenzimidazole, m. 175.degree., and XXIX with III leads to 1-(4-chlorobenzyl)-2-[ethyl(2-hydroxyethyl)amino]methyl-5-methoxybenzimidazole, m. 157-9.degree.. A suspension of 18 g. 1-(2-hydroxybenzyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, m. 243-5.degree. (prepd. by described methods), in 150 ml. Ac2O is stirred 5 hrs. at room temp. The soln. is evapd. in vacuo, ice H2O added, neutralized with NaHCO3 soln., and after work up yields 18 g. 1-(2-acetoxybenzyl)-2-(1-methyl-4-piperazinyl) methylbenzimidazole, m. 145-7.degree. (C5H12C6H6). Similarly prepd, are 1-(2-acetoxybenzyl)-2-[1-(2-acetoxybenzyl)-2- [1-methyl-4-piperazinyl)methylbenzimidazole, m. 145-7 - .degree. (C5H12C6H6), 1-(2-acetoxybenzyl)-2-[1-(2-acetoxyethyl)- 4-piperazinyl]methylbenzimidazole, m. 101-2.degree., and 1-(4-chlorobenzyl)-2-[1(2-acetoxyethyl)-4-piperazinyl]methylbenzimidazole, m. 78-80.degree.. A mixt. of 10 g. N-(4-chlorobenzyl)-o-phenylenediamine (XXX), and 4.6 g. glycolic acid is heated to 100.degree. and after most H2O is eliminated, the temp. is raised to 135.degree. and heated 1 hr. After cooling, the residue is dissolved in EtOH, the soln. heated, and H2O added followed by the addn. of NaHCO3 soln. to yield 10 g. 1-(4-chlorobenzyl)-2-hydroxymethylbenzimidazole (XXXI), m. 131-2.degree.

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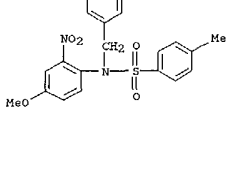
(aq. EtOH). XXXI is converted with SOCl2 to its chloro deriv. which is allowed to react with VI to give 1-(4-chlorobenzyl)-2-[1-(2-hydroxyethyl)piperazinyl]methylbenzimidazole, m. 145.degree.. XXX and IX yield 58% XXXI which is treated with V to give 73% 1-(4-chlorobenzyl)-2-(1-methyl-4-piperazinyl)methylbenzimidazole, m. 99-100.degree. A mixt. of 67.8 g. Me .gamma.-bromobutyrate, 87.05 g. morpholine, and 392 ml. PhMe is refluxed 3.5 hrs. After work-up and hydrolysis of the product, .gamma.-morpholinobutyric acid-H2O, (XXXII), m. 73-4.degree., is isolated. A mixt. of 10.5 g. XXXII, 11.6 g. XXX, 12.7 g. H2O, 3.2 ml. EtOH, 2.4 g. HCl (concd.) and 2.4 g. H3PO4 (concd.) is heated to 135-40.degree. 2 hrs. Work-up yields 9.1 g. 1-(4-chlorobenzyl)-2-[3-(4-morpholinyl)propyl]benzimidazole, m. 118-19.degree.; HCl salt m. 171-3.degree.. By methods described above is also prepd. 1-benzyl-2-[2-(2-hydroxyethoxy)-ethylamino]methylbenzimidazole-HCl, m. 174-5.degree.. Pharmacol. tests in animals are presented for a no. of the compds. prepd.

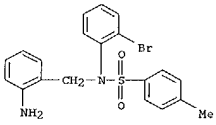
IT **7288-56-4**, p-Toluenesulfon-p-anisidide, N-(p-chlorobenzyl)-2'-nitro-
(prepn. of)

RN 7288-56-4 CAPLUS

CN Benzenesulfonamide, N-[(4-chlorophenyl)methyl]-N-(4-methoxy-2-nitrophenyl)-4-methyl- (9CI) (CA INDEX NAME)

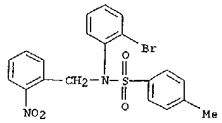


L10 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1965:74226 CAPLUS
DOCUMENT NUMBER: 62:74226
ORIGINAL REFERENCE NO.: 62:13150g-h,13151a-b
TITLE: Phenanthridines. IV. Pschorr reactions with sulfonamides derived from N.alpha.-phenyltoluene-.alpha.,2-diamine and formation of 6-phenyl-7H-dibenzo[d,f] [1,2]thiazepine 5,5-dioxide
AUTHOR(S): Huppatz, J. L.; Sasse, W. H. F.
CORPORATE SOURCE: Univ. Adelaide
SOURCE: Australian Journal of Chemistry (1965), 18(2), 206-12
CODEN: AJCHAS; ISSN: 0004-9425
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB cf. CA 62, 11778h. Cyclization of 7.5 g. .omicron.-H2NC6H4CH2N(C6H4Br-.omicron.)SO2C6H4Me-p (I) by the addn. of pptd. Cu to the soln. produced by inverse diazotization of I with 5% excess NaNO2 in H2SO4-AcOH (CA 59, 6329f) gave 20% 4-bromophenanthridine (II), m. 128-30.degree., and 17% III [R = Me, R1 = Br (IV)], m. 151-2.degree.. The use of 30%NaNO2 gave 12% II, 30% IV, and 13% .omicron.-BrC6H4N(CH2Ph)O2SC6H4Me-p, m. 109.degree.. Cyclization of .omicron.-H2NC6H4CH2NHSO2Ph (V) (Huppatz, loc. cit.) gave 18% 5-(phenylsulfonyl)-5,6-dihydrophenanthridine, m. 112.degree. 12.5% phenanthridine, and 33% III H(R = R' =), m. 144.degree.. I, m. 174.degree. (EtOH), was prepd. by the Sn-HCl redn. of the corresponding .omicron.-NO2 compd., m. 111-2.degree., obtained by the .omicron.-O2NC6H4CH2Cl alkylation of .omicron.-BrC6H4NHO2SC6H4Me-p, m. 94.degree.. The alkylation of PhHNO2SPh with .omicron.-O2NC2H4CH2Br gave .omicron.-O2NC6H4CH2NPhO2SPh (VI), m. 142.degree., and Raney-Ni redn. of VI gave V, m. 146.degree. (MeOH).
IT **2087-13-0**, p-Toluenesulfonanilide, N-(o-aminobenzyl)-2'-bromo-
2316-00-9, p-Toluenesulfonanilide, 2'-bromo-N-(o-nitrobenzyl)-
(prepn. of)
RN 2087-13-0 CAPLUS
CN p-Toluenesulfonanilide, N-(o-aminobenzyl)-2'-bromo- (7CI, 8CI) (CA INDEX NAME)



RN 2316-00-9 CAPLUS
CN p-Toluenesulfonanilide, 2'-bromo-N-(o-nitrobenzyl)- (7CI, 8CI) (CA INDEX NAME)

L10 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L10 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1965:66416 CAPLUS
DOCUMENT NUMBER: 62:66416
ORIGINAL REFERENCE NO.: 62:11778g-h,11779a-f
TITLE: Phenanthridines. III. Syntheses of 9-bromophenanthridene and 7-bromophenanthridine by Pschorr reactions with sulfonamides derived from N-p-bromobenzyl and N-.omicron.-bromobenzyl-.omicron.-phenylenediamines and a new route to N-sulfonylcarbazoles
AUTHOR(S): Huppatz, J. L.; Sasse, W. H. F.
CORPORATE SOURCE: Univ. Adelaide
SOURCE: Australian Journal of Chemistry (1964), 17(12), 1406-17
CODEN: AJCHAS; ISSN: 0004-9425
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB cf. CA 51, 9624b; 59, 6329f. Cu catalyzed decompn. of diazotized N-p-bromobenzyl-N-p-toluenesulfonyl-.omicron.-phenylenediamine (I) furnished 9-bromo-5-p-toluenesulfonyl-5,6-dihydrophenanthridine (II), which upon oxidative hydrolysis yielded 9-bromophenanthridine (III). p-Bromobenzyl bromide (IV) was condensed with 2'-nitro-p-toluenesulfonamilide (V) to give 71% N-p-bromobenzyl-N-p-toluenesulfonyl-.omicron.-nitroaniline (VI), m. 185-6.degree. (C6H6). Similarly was prepd. 72% N-.omicron.-bromobenzyl-N-p-toluenesulfonyl-.omicron.-nitroaniline (VII), m. 153-4.degree. (C6H6) from .omicron.-bromobenzyl bromide, and 65% N-.omicron.-bromobenzyl-N-methanesulfonyl-.omicron.-nitroaniline (VIII), m. 112-13.degree. (C6H6). Redn. with Sn and HCl gave I, m. 116-17.degree. (EtOH), from VI, 85% N-.omicron.-bromobenzyl-N-p-toluenesulfonyl-.omicron.-phenylenediamine (IX), m. 130-1.degree. (MeOH), from VII, and 78% N-.omicron.-bromobenzyl-N-methanesulfonyl-.omicron.-phenylenediamine (X), m. 97-8.degree. (EtOH), from VIII. 2-p-Bromobenzylamino-4'-methylbiphenyl (XI) (56%), m. 113-14.degree. (MeOH) and 2-.omicron.-bromobenzylamino-4-methylbiphenyl (XII), m. 120-1.degree. (MeOH), were prepd. by a known method (CA 59, 6329f). 2-Bromo-2'-p-toluenesulfonamidobiphenyl (XIII), m. 133-4.degree. (MeOH), was prepd. from 2-bromo-2-aminobiphenyl (XIV) (prepd. from the nitro compd. by redn. with Fe and AcOH during 1 hr. on a steam bath) and p-toluenesulfonyl chloride (XV). N-Methanesulfonylcarbazole, m. 108-8.5.degree. (XVI), was prepd. (20%) from carbazole and methanesulfonyl chloride, and purified by chromatography. Cyclization of I (CA 59, 6329f) with 5% excess NaNO2 gave a neutral fraction, 8.3 g. of which on chromatography furnished 0.9 g. II, m. 149-50.degree. (MeOH), 1.25 g. N-p-bromobenzyl-2-amino-5'-methylbiphenyl-2'-sulfonic acid sultam (XVI), m. 114-15.degree. (MeOH), 1.4 g. I, m. 115-17.degree., 1.8 g. 4-bromo-2'-p-toluenesulfonamidobiphenyl (XVII), m. 128-30.degree., and 0.5 g. unidentified red oil. The basic fraction (by chromatography) gave 0.05 g. III, m. 118-19.degree.. Cyclization of I in the presence of 30% excess NaNO2 and chromatography gave the following compds.: 0.24 g. XI, 2.3 g. II, 1.2 g. XVI, 2.8 g. XVII, and 0.5 g. unidentified red oil; the basic fraction gave III and 0.25 g. red oil. Similarly, cyclization of IX in the presence of 5% excess of NaNO2 and chromatography yielded XII, N-p-toluenesulfonylcarbazole (XVIII) and N,O-bromobenzyl-2-amino-5'-methylbiphenyl-2'-sulfonic acid sultam (XIX). The basic portion did not yield any phenanthridine deriv. Cyclization of IX with 30% excess of NaNO2 and chromatography of the product gave XII, a compd. m. 174-4.5.degree., XVIII, XIX, and XIII. Cyclization of X with 5% and 30% excess NaNO2 gave resp. (after chromatography) XVI, 7-bromo-5-methanesulfonyl, 5,6-dihydrophenanthridine (XX), X, 7-bromophenanthridine (XXI), m. 160-1.degree., XX, m. 124-5.degree. (MeOH), 2'-bromo-2'-

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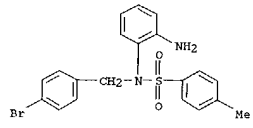
methanesulfonamidobiphenyl (XXII), m. 122.5-3.5.degree., and XXI. After inverse deazotization of X with 30% excess NaNO2 sulfamic acid was added, the mixt. stirred 15 min. at 0.degree., Cu added and the reaction completed as described (CA 59, 6329f). Chromatography of the product gave XVI, XX, XXII, and XXI. It was observed that with Cu alone, 0.3 g. XIII was converted to 0.005 g. 2'-p-toluenesulfonamidobiphenyl (XXIII), whereas Cu with AcOH, H2SO4, and NaNO2 converted XIII to 88% XVIII and 0.354 g. XXII was converted to 0.215 XVI by 0.315 g. CuBr in 20 ml. Me2SO at 100-30.degree. for 4 hrs. Spectral data (uv and ir) are given for III, XVI, XIX, and XXI.
IT **2169-32-6**, p-Toluenesulfonanilide, 2'-amino-N-(p-bromobenzyl)-
2169-33-7, p-Toluenesulfonanilide, 2'-amino-N-(o-bromobenzyl)-
2390-24-1, p-Toluenesulfonanilide, N-(o-bromobenzyl)-2'-nitro-
3026-27-5, p-Toluenesulfonanilide, N-(p-bromobenzyl)-2'-nitro-
(prepn. of)
RN 2169-32-6 CAPLUS
CN p-Toluenesulfonanilide, 2'-amino-N-(p-bromobenzyl)- (7CI, 8CI) (CA INDEX NAME)



RN 2169-33-7 CAPLUS
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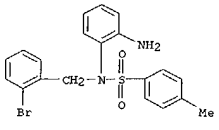
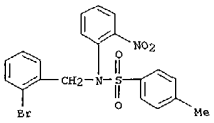
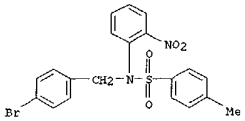


RN 2390-24-1 CAPLUS
CN Benzenesulfonamide, N-[(2-bromophenyl)methyl]-4-methyl-N-(2-nitrophenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



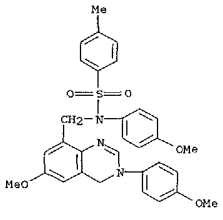
RN 3026-27-5 CAPLUS
CN p-Toluenesulfonanilide, N-(p-bromobenzyl)-2'-nitro- (7CI, 8CI) (CA INDEX NAME)



L10 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1965:43896 CAPLUS
DOCUMENT NUMBER: 62:43896
ORIGINAL REFERENCE NO.: 62:7753c-h,7754a-e
TITLE: Reactions of formaldehyde with aromatic amines
AUTHOR(S): Farrar, W. V.
CORPORATE SOURCE: Univ. Manchester, UK
SOURCE: Journal of Applied Chemistry (1964), 14(9), 389-99
CODEN: JACHAU; ISSN: 0021-8871
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB In neutral or weakly acid soln., C-N bonds only were formed in reactions between HCHO (I) and aromatic amines. Thus, 8-nitro-1-naphthylamine condensed with I in AcOH gave an almost theoretical yield of dihydro-5-(8-nitro-1-naphthyl)-4H-1,3,5-dioxazine, m. 175.degree. (Morgan and Jones, CA 17, 1960). I (50 ml.) warmed with 5 g. p-H2NC6H4Ac until a clear soln. was formed gave 2.1 g. II (R = p-AcC6H4), m. 220-1.degree. (decompn.) (xylene). II (R = m-O2NC6H4), m. 224.degree. (decompn.), and II (R = p-O2NC6H4), m. 280-2.degree. (decompn.), were prepd. similarly. Reactions between I and certain p-substituted aromatic amines in acid soln. produced both new C-C and C-N bonds, and the benzene ring was involved in the reactions. Formation of certain compds. appeared to be capricious, and the products of a reaction were detd. largely by the concn. of the reactants. Thus, 62 g. p-MeOC6H4NH2, 200 ml. 5N HCl, and 70 ml. 40% I kept 2 days gave 26 g. III (R = OMe) (IV) HCl salt; IV m. 172.degree.. The filtrate from IV dild. to 1 l., the mixt. kept 1 day and filtered, and the ppt. digested with 50 ml. cold EtOH afforded 5 g. V (R = OMe) (VI), m. 215.degree. (H2O), and 12.5 g. EtOH-sol. VII (R = OMe, R' = H) (VIII); HCl salt m. 110.degree. (decompn.). Basification with NH4OH to pH 8 of the filtrate from VI and VIII.HCl pptd. an oil, and satn. with NaCl of the supernatant liquid produced 10g. IX(R =OMe); picrate m. 204.degree.. The pptd. oil dissolved in 100 ml. 2N HCl gave 10 g. 3-p-anisyl-3,4-dihydro-6-methoxyquinazoline (X)hydrochloride; X m. 136.degree.; methiodide m. 220.degree.. Addn. of alkali to the filtrate from X.HCl produced <0.5 g. XI(R = OMe)(XII), m. 156.degree. (Me2CO); picrate m. 140-2.degree.. Basification of VI produced either 5,2-R(MeNH)C6H3CH2N-(CHO)C6H4R-p (XIII) (R = OMe) or 5,2-R(OHCNMe)C6H3CH2NHC6H4R-p (XIV) (R =OMe), m. 121.degree. picrate m. 165.degree.. Oxidn. with H2O2 of the corresponding VI iodide gave unstable XV (R = OMe), m. 134.degree.. Redn. of VIII, m. 128.degree. (dipicrate m. 180.degree.; PhNCO adduct m. 156.degree.; p-toluenesulfonate m. 88.degree. (decompn.); N-nitroso compd. m. 116.degree.], with NaBH4 in EtOH produced XII. Other condensations of I with amines in aq. HCl afforded the following compds: (from p-EtOC6H4NH2) IX (R = OEt), m. 225.degree. (decompn.) [pseudo base m. 150.degree.; picrate m. 197.degree.]; III (R = OEt), m. 133-4.degree.; 6-ethoxy-3-(p-ethoxyphenyl)-3,4-dihydroquinazoline, m. 140.degree.; V (R = OEt) [the corresponding iodide was oxidized by H2O2 to XV (R = OEt), m. 200.degree.]; either XIII or XIV (R = OEt), m. 116.degree.; (picrate m. 166.degree.); 3,9-diethoxy-5,6,11,12-tetrahydro-5,11-dimethylphenhomazine, m. 152.degree.; (from .beta.-naphthylamine) 1,2-dihydro-2-(2-naphthyl)benzo[f]quinazoline, m. 185-7.degree. [picrate m. 256.degree. (decompn.)]; 3 isomeric Troeger bases (N,N'-methanodinaphtho-[1,5]diazocines) of m.ps. 187.degree. 211.degree. and 201.degree., resp.; dinitroso derivs. m. 255.degree., 260.degree., and 247.degree. (decompn.), resp.; (from p-MeC6H4NH2) 1,2-dihydro deriv. of VII (R = Me, R' = CHO)

L10 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(XVI), m. 141.degree. [XVI was originally formulated by Eisner and Wagner (CA 28, 6718d) as 1,2,3,4-tetrahydro-6-methyl-1-(p-toluidinomethyl)-3-p-tolyl-2-quinazolinol] [chloride m. 280.degree. (decompn.); picrate m. 204.degree.]; III (R = Me), m. 136.degree.; VII (R = Me, R' = H), m. 120.degree. (picrate m. 210.degree.; N-nitroso compd., m. 70.degree.); XI (R = Me) [also obtained by NaBH4 redn. XVI), m. 148.degree. (Cellosolve), either XIII or XIV (R = Me) (picrate m. 187-8.degree.; iodide m. 265.degree.) [addn. of H2O2 to this iodide XV (R = Me), m. 173-5.degree.]; 3,4-dihydro-6-methyl-3-p-tolylquinazoline, m. 166.degree.; (from p-ClC6H4NH2) 6-chloro-3-(p-chlorophenyl)-3,4-dihydroquinazoline, m. 190.degree. p-ClC6H4NMeCH2C6H3(NHCHO)Cl-2,5 [originally formulated by Miller and Wagner (CA 35, 2895-8) as 6-chloro-3-(p-chlorophenyl)-3,4-dihydro-1(2H)-quinazolinemethanol], m. 140.degree. (EtOH)(picrate m. 187-8.degree.); (p-ClC6H4NMe)2CH2, m. 117-19.degree.. I (12 ml.), 21 g. o-toluidine, and 110 ml. 98% H2SO4 stirred at 10-20.degree. for 24 hrs. gave XVII (R = NH2, R' = Me) (XVIII), m. 219-20.degree. (xylene). Similarly, 12 g. o-dianisidine condensed with I in H2SO4 afforded 1.4 g. XVII (R = NH2, R' = OMe), m. 285-6.degree.. XVIII (1 g.) acylated at room temp. with 5 ml. C5H6N and 2 ml. Ac2O produced XVII (R = NHAc, R' = Me), m. >350.degree., and 1 g. XVIII refluxed 2 hrs. in 10 ml. Ac2O gave 4,4'-diacetamido-5,5'-dimethyl-2,2'-biphenyldimethanol diacetate, m. 279.degree. (decompn.). NaNO2 added to a suspension of 1 g. XVIII in 4 ml. HCl and 36 ml. H2O, and the mixt. treated with KI produced 0.3 g. XVII (R = I, R' = Me), m. 216-17.degree.. Reaction of I with arylamines having a free p-position in acidified Na2S2O3 soln. gave derivs. of [3,4-R2(RR1N)C6H3CH2]2Sn (XX) and (PhCH2)2S. Thus, 25 g. Na2S2O3, 25 ml. H2O, and 8 ml. 40% I added to 10 g. PhNH2 and 50 ml. 5N HCl and the mixt. heated 4 hrs. at 100.degree. gave 7-9.5 g. XX.2HCl (R, R1, R2 = H, n = .apprx.4), m. 240.degree. (decompn.), and 4 g. (p-H2NC6H4CH2)2S, m. 103-5.degree.. Similarly, condensations using o-MeC6H4-NH2, PhNHMe, and PhNMe2 produced XX.2HCl (R = R1 = H,R2 = Me, n = 4), m. 225.degree. (decompn.) (free base m. 139.degree.) [and [3,4-Me(H2N)C6H3CH2]2S m. 155.degree.], XX (R = R2 = H, R1 = Me, n = 1), m. 55.degree. (dinitroso deriv. m. 136.degree.), and (p-Me2NC6H4CH2)2S (XXI), m. 62.degree., resp. XXI distd. at 11 mm. decompd. to H2S, p-MeC6H4NMe2, and P-Me2NC6H4CH:CHC6H4NMe2-p. XXI refluxed 30 min. with Cu-bronze and 1,2,4-trichlorobenzene produced p-Me2NC6H4CH2CH2C6H4NMe2-p.
IT 916-76-7, p-Toluenesulfon-p-anisidide, N-[[3,4-dihydro-6-methoxy-3-(p-methoxyphenyl)-8-quinazolinyl]methyl]-
(prepn. of)
RN 916-76-7 CAPLUS
CN p-Toluenesulfon-p-anisidide, N-[[3,4-dihydro-6-methoxy-3-(p-methoxyphenyl)-8-quinazolinyl]methyl]- (7CI, 8CI) (CA INDEX NAME)

L10 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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L3             2 S L2 AND REMINYL
L4             0 S L3 AND COGNEX

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     FILE 'REGISTRY' ENTERED AT 12:03:18 ON 06 OCT 2003
L5                STRUCTURE UPLOADED
L6            50 S L5
L7          1647 S L5 FULL

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L8            46 S L7
L9             2 S L8 AND AMYLOID
L10           44 S L8 NOT L9

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           1998 ALZHEIMERS
          27455 ALZHEIMER
                (ALZHEIMER OR ALZHEIMERS)
L11           0 L10 AND ALZHEIMER

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L13           0 L10 AND NEURODEGENERATIVE

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                   213.39     374.19

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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